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(71) BASF AKTIENGESELLSCHAFT,
67056, LUDWIGSHAFEN, XX (DE).

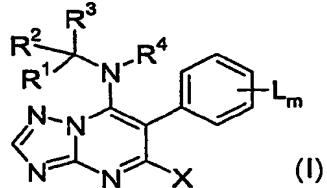
(72) GROTE, THOMAS (DE).
GYPSER, ANDREAS (DE).
GRAMMENOS, WASSILIOS (DE).
RHEINHEIMER, JOACHIM (DE).
SCHIEWECK, FRANK (DE).

SCHAEFER, PETER (DE).
GEWEHR, MARKUS (DE).
SCHOEFL, ULRICH (DE).
MUELLER, BERND (DE).
TORMO I BLASCO, JORDI (DE).
STRATHMANN, SIEGFRIED (DE).
BLETTNER, CARSTEN (DE).
SCHWOEGLER, ANJA (DE).
SCHERER, MARIA (DE).
STIERL, REINHARD (DE).

(74) ROBIC

(54) 7-ALCENYLAMINO-TRIAZOLOPYRIMIDINES, LEURS PROCEDES DE PRODUCTION ET LEUR UTILISATION POUR LUTTER CONTRE DES CHAMPIGNONS NUISIBLES, ET AGENTS CONTENANT LESDITS COMPOSES
(54) 7-ALKENYLAMINO-TRIAZOLOPYRIMIDINES, METHOD FOR THE PRODUCTION THEREOF AND USE THEREOF IN CONTROLLING HARMFUL FUNGI AND SUBSTANCES CONTAINING SAID TRIAZOLOPYRIMIDINES

(57) The invention relates to 7-alkenylamino-triazolopyrimidines of formula (I) wherein the substituents have the following meaning: L represents halogen, alkyl, halogenalkyl, alkoxy, amino, NHR or NR₂; R represents alkyl or alkyl- carbonyl; m represents 1, 2, 3, 4 or 5; X represents halogen, cyano, alkyl, halogenalkyl or alkoxy; R₁ represents alkyl or halogenalkyl; R₂ represents hydrogen, alkyl or halogenalkyl; R₃ represents alkenyl which is unsubstituted or partially or totally halogenated or can be substituted according to the description; R₄ represents hydrogen or alkyl, R₃ and R₄ can form, together with the nitrogen atom whereon they are bound, a five or six-membered unsaturated ring which can be interrupted by an atom from the groups O, N and S and/or can include one or several substituents. The invention also relates to methods for producing said compounds, agents containing said compounds and the use thereof in controlling plant pathogenic harmful fungi.





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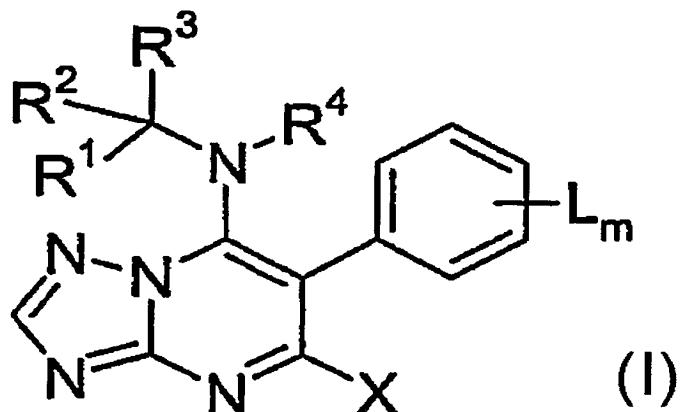
(71) Demandeur/Applicant:
BASF AKTIENGESELLSCHAFT, DE

(72) Inventeurs/Inventors:
TORMO I BLASCO, JORDI, DE;
BLETTNER, CARSTEN, DE;
MUELLER, BERND, DE;
GEWEHR, MARKUS, DE;
GRAMMENOS, WASSILIOS, DE;
GROTE, THOMAS, DE;
GYPSER, ANDREAS, DE;
...

(74) Agent: ROBIC

(54) Titre : 7-ALCENYLAMINO-TRIAZOLOPYRIMIDINES, LEURS PROCEDES DE PRODUCTION ET LEUR UTILISATION POUR LUTTER CONTRE DES CHAMPIGNONS NUISIBLES, ET AGENTS CONTENANT LESDITS COMPOSES

(54) Title: 7-ALKENYLAMINO-TRIAZOLOPYRIMIDINES, METHOD FOR THE PRODUCTION THEREOF AND USE THEREOF IN CONTROLLING HARMFUL FUNGI AND SUBSTANCES CONTAINING SAID TRIAZOLOPYRIMIDINES



(I)

(57) Abrégé/Abstract:

The invention relates to 7-alkenylamino-triazolopyrimidines of formula (I) wherein the substituents have the following meaning: L represents halogen, alkyl, halogenalkyl, alkoxy, amino, NHR or NR₂; R represents alkyl or alkyl-carbonyl; m represents 1, 2, 3, 4 or 5; X represents halogen, cyano, alkyl, halogenalkyl or alkoxy; R¹ represents alkyl or halogenalkyl; R² represents hydrogen, alkyl or halogenalkyl; R³ represents alkenyl which is unsubstituted or partially or totally halogenated or can be substituted according to the description; R⁴ represents hydrogen or alkyl, R³ and R⁴ can form, together with the nitrogen atom whereon they are bound, a five or six-membered unsaturated ring which can be interrupted by an atom from the groups O, N and S and/or can include one or several substituents. The invention also relates to methods for producing said compounds, agents containing said compounds and the use thereof in controlling plant pathogenic harmful fungi.

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(72) Inventeurs(suite)/Inventors(continued): RHEINHEIMER, JOACHIM, DE; SCHAEFER, PETER, DE;
SCHIEWECK, FRANK, DE; SCHWOEGLER, ANJA, DE; SCHERER, MARIA, DE; STRATHMANN, SIEGFRIED, DE;
SCHOEFL, ULRICH, DE; STIERL, REINHARD, DE

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A01N 43/90Wachenheim (DE). **GYPSER**, Andreas [DE/DE]; B 4.4, 68159 Mannheim (DE). **RHEINHEIMER**, Joachim [DE/DE]; **Merziger** Str. 24, 67063 Ludwigshafen (DE). **SCHÄFER**, Peter [DE/DE]; **Römerstr.** 1, 67308 Ottersheim (DE). **SCHIEWECK**, Frank [DE/DE]; **Lindenweg** 4, 67258 Hessheim (DE). **SCHWÖGLER**, Anja [DE/DE]; **Heinrich-Lanz-Str.** 3, 68165 Mannheim (DE). **SCHERER**, Maria [DE/DE]; **Hermann-Jürgens-Str.** 30, 76829 Landau (DE). **STRATHMANN**, **Siegfried** [DE/DE]; **Donnersbergstr.** 9, 67117 Limburgerhof (DE). **SCHÖFL**, Ulrich [DE/DE]; **Erlenstrasse** 8, 68782 Brühl (DE). **STIERL**, Reinhard [DE/DE]; **Jahnstr.** 8, 67251 Freinsheim (DE).(21) Internationales Aktenzeichen: **PCT/EP2004/003102**(22) Internationales Anmeldedatum:
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(72) Erfinder; und

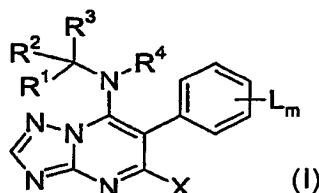
(75) Erfinder/Anmelder (nur für US): **TORMO I BLASCO**, Jordi [ES/DE]; **Karl-Benz-Str.** 10-3, 69514 Laudenbach (DE). **BLETTNER**, Carsten [DE/DE]; **Richard-Wagner-Str.** 48, 68165 Mannheim (DE). **MÜLLER**, Bernd [DE/DE]; **Stockingerstr.** 7, 67227 Frankenthal (DE). **GEWEHR**, Markus [DE/DE]; **Goethestr.** 21, 56288 Kastellaun (DE). **GRAMMENOS**, Wassilios [GR/DE]; **Alexander-Fleming-Str.** 13, 67071 Ludwigshafen (DE). **GROTE**, Thomas [DE/DE]; **Im Höhnhausen**, 67157

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[Fortsetzung auf der nächsten Seite]

(54) Title: 7-ALKENYLAMINO-TRIAZOLOPYRIMIDINES, METHOD FOR THE PRODUCTION THEREOF AND USE THEREOF IN CONTROLLING HARMFUL FUNGI AND SUBSTANCES CONTAINING SAID TRIAZOLOPYRIMIDINES

(54) Bezeichnung: 7-ALKENYLAMINO-TRIAZOLOPYRIMIDINE, VERFAHREN ZU IHRER HERSTELLUNG UND IHRE VERWENDUNG ZUR BEKÄMPFUNG VON SCHADPILZEN SOWIE SIE ENTHALTENDE MITTEL



(57) **Abstract:** The invention relates to 7-alkenylamino-triazolopyrimidines of formula (I) wherein the substituents have the following meaning: L represents halogen, alkyl, halogenalkyl, alkoxy, amino, NHR or NR₂; R represents alkyl or alkyl-carbonyl; m represents 1, 2, 3, 4 or 5; X represents halogen, cyano, alkyl, halogenalkyl or alkoxy; R¹ represents alkyl or halogenalkyl; R² represents hydrogen, alkyl or halogenalkyl; R³ represents alkenyl which is unsubstituted or partially or totally halogenated or can be substituted according to the description; R⁴ represents hydrogen or alkyl, R³ and R⁴ can form, together with the nitrogen atom whereon they are bound, a five or six-membered unsaturated ring which can be interrupted by an atom from the groups O, N and S and/or can include one or several substituents.

The invention also relates to methods for producing said compounds, agents containing said compounds and the use thereof in controlling plant pathogenic harmful fungi.

(57) **Zusammenfassung:** 7-Alkenylamino-Triazolopyrimidine der Formel (I) in der die Substituenten folgende Bedeutung haben: L Halogen, Alkyl, Halogenalkyl, Alkoxy, Amino, NHR oder NR₂; R Alkyl oder Alkyl carbonyl; m 1, 2, 3, 4 oder 5; X Halogen, Cyano, Alkyl, Halogenalkyl oder Alkoxy; R¹ Alkyl oder Halogenalkyl; R² Wasserstoff, Alkyl oder Halogenalkyl; R³ Alkenyl, welches unsubstituiert oder partiell oder vollständig halogeniert sein oder gemäß der Beschreibung substituiert sein kann; R⁴ Wasserstoff oder Alkyl, R³ und R⁴ können auch zusammen mit dem Stickstoffatom, an das sie gebunden sind, einen fünf- oder sechsgliedrigen ungesättigten Ring bilden, der durch ein Atom aus der Gruppe O, N und S unterbrochen sein und/oder einen oder mehrere Substituenten tragen kann; Verfahren zur Herstellung dieser Verbindungen, sie enthaltende Mittel sowie ihre Verwendung zur Bekämpfung von pflanzenpathogenen Schadpilzen.

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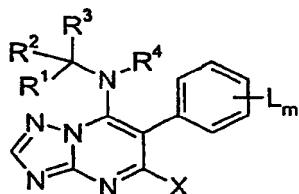
— mit internationalem Recherchenbericht

Zur Erklärung der Zweiibuchstaben-Codes und der anderen Abkürzungen wird auf die Erklärungen ("Guidance Notes on Codes and Abbreviations") am Anfang jeder regulären Ausgabe der PCT-Gazette verwiesen.

**7-ALKENYLAMINO-TRIAZOLOPYRIMIDINES, METHOD FOR THE
PRODUCTION THEREOF AND USE THEREOF IN CONTROLLING
HARMFUL FUNGI AND SUBSTANCES CONTAINING SAID
TRIAZOLOPYRIMIDINES**

The present invention relates to 7-(alkenylamino)triazolopyrimidines of the formula I

10



I

in which the substituents have the following meanings:

L is, independently of one another, halogen, C₁-C₆-alkyl, C₁-C₆-haloalkyl, C₁-C₆-alkoxy, amino, NHR or NR₂,

R is C₁-C₆-alkyl or C₁-C₆-alkylcarbonyl;

m is 1, 2, 3, 4 or 5;

X is halogen, cyano, C₁-C₄-alkyl, C₁-C₄-haloalkyl or C₁-C₄-alkoxy;

20

R¹ is C₁-C₃-alkyl or C₁-C₃-haloalkyl;

R² is hydrogen, C₁-C₃-alkyl or C₁-C₃-haloalkyl;

R³ is C₂-C₁₀-alkenyl, which can be unsubstituted or partially or completely halogenated or can carry one to three R^a groups:

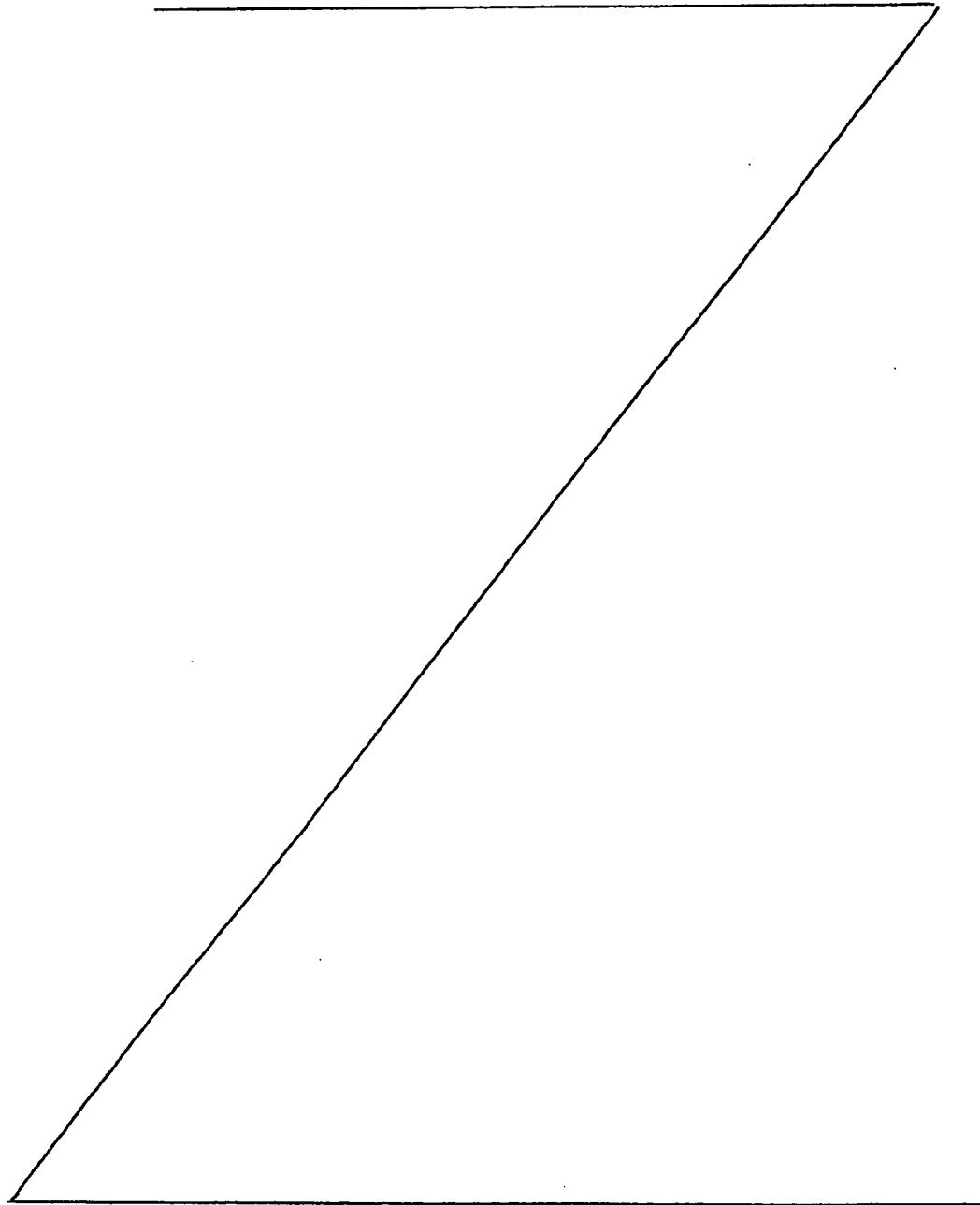
R^a is halogen, cyano, nitro, hydroxyl, C₁-C₆-alkylcarbonyl, C₃-C₆-cycloalkyl, C₁-C₆-alkoxy, C₁-C₆-haloalkoxy, C₁-C₆-alkoxycarbonyl, C₁-C₆-alkylthio, C₁-C₆-alkylamino, di(C₁-C₆-alkyl)amino, C₂-C₆-alkenyl, C₂-C₆-alkenyloxy, C₃-C₆-alkynyoxy or C₃-C₆-cycloalkyl,

30

these aliphatic or alicyclic groups for their part being able to be partially or completely halogenated or to carry one to three R^b groups:

1a

R^b is halogen, cyano, nitro, hydroxyl, mercapto, amino, carboxyl, aminocarbonyl, aminothiocarbonyl, alkyl, haloalkyl, alkenyl, alkenyloxy, alkynyoxy, alkoxy, haloalkoxy, alkylthio, alkylamino, dialkylamino, formyl, alkylcarbonyl, alkylsulfonyl, alkylsulfinyl.



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5 alkoxy carbonyl, alkyl carbonyloxy, alkyl aminocarbonyl, dialkylaminocarbonyl, alkyl-aminothiocarbonyl or dialkylaminothiocarbonyl, the alkyl groups in these radicals comprising 1 to 6 carbon atoms and the abovementioned alkenyl or alkynyl groups in these radicals comprising 2 to 8 carbon atoms;

R^4 is hydrogen or C_1 - C_2 -alkyl.

10 R^3 and R^4 can also, together with the nitrogen atom to which they are bonded, form a five- or six-membered unsaturated ring which can carry one or more R^8 substituents.

15 In addition, the invention relates to processes for the preparation of these compounds, preparations comprising them and their use in the control of harmful phytopathogenic fungi.

6-Phenyl-7-aminotriazolopyrimidines are generally known from EP-A 71 792 and EP-A 550 113. The compounds disclosed in the abovementioned documents are known for the control of harmful fungi.

20 However, in many cases, their action is unsatisfactory.

It is an object of the present invention to provide compounds which have an improved action and/or a broadened spectrum of activity.

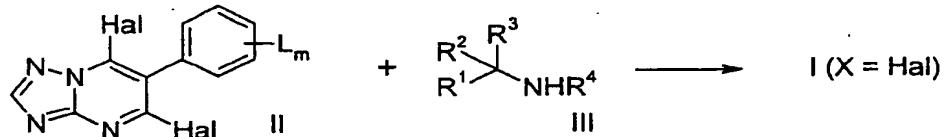
25 We have found that this object is achieved by the compounds defined at the start. Furthermore, processes for and intermediates in their preparation, preparations comprising them and methods for the control of harmful fungi with the use of the compounds I have been found.

30 The compounds of the formula I are distinguished from those from the abovementioned documents by the form of the alkenyl group in the 7-position of the triazolopyrimidine skeleton, which exhibits branching at the α -carbon atom.

35 The compounds of the formula I have, in comparison with the known compounds, an increased effectiveness against harmful fungi.

The compounds according to the invention can be obtained in various ways. They are advantageously obtained by reaction of dibromotriazolopyrimidines of the formula II, in

which Hal is a halogen atom, such as bromine or, in particular, chlorine, with amines of the formula III under conditions generally known from WO 98/46608.



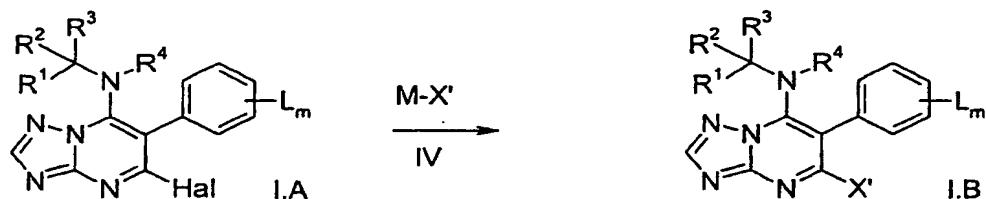
The reaction of II with amines III is advantageously carried out at 0°C to 70°C, 5 preferably 10°C to 35°C, preferably in the presence of an inert solvent, such as ethers, e.g. dioxane, diethyl ether or, in particular, tetrahydrofuran, halogenated hydrocarbons, such as dichloromethane, and aromatic hydrocarbons, such as, for example, toluene.

10 The use of a base, such as tertiary amines, for example triethylamine, or inorganic bases, such as potassium carbonate, is preferred; excess amine of the formula III can also act as base.

15 Amines of the formula III are known in some cases or can be prepared according to known methods, for example from the corresponding alcohols via the tosylates and phthalimides [cf. J. Am. Chem. Soc., Vol. 117, p. 7025 (1995); WO 93/20804], by reduction of the corresponding nitriles [cf. Heterocycles, Vol. 35, p. 2 (1993); Synthetic Commun., Vol. 25, p. 413 (1995); Tetrahedron Lett., p. 2933 (1995)] or reductive amination of ketones [cf. J. Am. Chem. Soc., Vol. 122, p. 9556 (2000); Org. Lett., p. 731 (2001); J. Med. Chem., p. 1566 (1988)], from the corresponding halides [cf. 20 Synthesis, p. 150 (1995)] and if necessary from subsequent alkylation. The CR¹R² group can optionally be formed by a Grignard reaction with corresponding nitriles or carboxylic acid anhydrides [cf. J. Org. Chem., p. 5056 (1992)]. Amines of the formula III are also accessible by the route known from WO 02/088125.

25 Compounds of the formula I in which X is halogen (formula I.A), in particular chlorine, are a preferred object of the invention.

30 Compounds of the formula I in which X represents cyano or C₁-C₆-alkoxy (formula I.B) can advantageously be prepared from compounds I in which X represents halogen [Hal], preferably chlorine, which correspond to formula I.A.



Compounds I.A are reacted with compounds M-X' (formula IV) to give compound I.B. Compounds IV represent, depending on the meaning of the X' group to be introduced, an inorganic cyanide or an alkoxide. The reaction is advantageously carried out in the presence of an inert solvent. The cation M in the formula IV has little meaning; for practical reasons, ammonium, tetraalkylammonium, alkali metal or alkaline earth metal salts are usually preferred.

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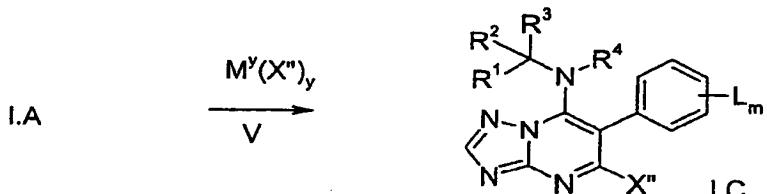
The reaction temperature is usually from 0 to 120°C, preferably from 10 to 40°C [cf. J. Heterocycl. Chem., Vol.12, pp. 861-863 (1975)].

10

Suitable solvents include ethers, such as dioxane, diethyl ether and, preferably, tetrahydrofuran, halogenated hydrocarbons, such as dichloromethane, and aromatic hydrocarbons, such as toluene.

15 Compounds I in which X is C₁-C₄-alkyl (formula I.C) can advantageously be prepared by the routes outlined below starting from starting materials of the formula I.A.

Compounds of the formula I.C in which X" represents C₁-C₄-alkyl can be obtained by coupling 5-halotriazolopyrimidines of the formula I.A with organometallic reagents of the formula V. In one embodiment of this process, the reaction is carried out under transition metal catalysis, such as Ni or Pd catalysis.



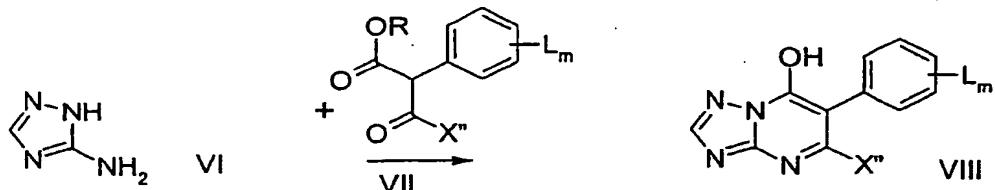
In formula V, X" is C₁-C₄-alkyl and M is a metal ion with the valency y, such as, for example, B, Zn or Sn. This reaction can, for example, be carried out analogously to the following methods: J. Chem. Soc. Perkin Trans., 1, 1187 (1994), ibid., 1, 2345 (1996); WO 99/41255; Aust. J. Chem., Vol. 43, p.733 (1990); J. Org. Chem., Vol. 43, p.358 (1978); J. Chem. Soc. Chem. Commun., p.866 (1979); Tetrahedron Lett., Vol. 34, p. 8267 (1993); ibid., Vol. 33, p. 413 (1992).

25

30 Compounds of the formula I in which X is C₁-C₄-alkyl or C₁-C₄-haloalkyl (formula I.C) can advantageously also be obtained by the following synthetic route:

The 5-alkyl-7-hydroxy-6-phenyltriazolopyrimidines VIII are obtained starting from 5-aminotriazole VI and the ketoester VII. In formula VII, R is a C₁-C₄-alkyl group, in particular methyl or ethyl. The 5-methyl-7-hydroxy-6-phenyltriazolopyrimidines are obtained by use of the readily accessible 2-phenylacetooacetic acid esters VIIa with

$X''=CH_3$ [cf. Chem. Pharm. Bull., 9, 801 (1961)]. 5-Aminotriazole VI is commercially available. The starting compounds VII are advantageously prepared under the conditions known from EP-A 1 002 788.



5 The 5-alkyl-7-hydroxy-6-phenyltriazolopyrimidines VIII thus obtained are reacted with halogenating agents [HAL] to give 7-halotriazolopyrimidines of the formula IX.



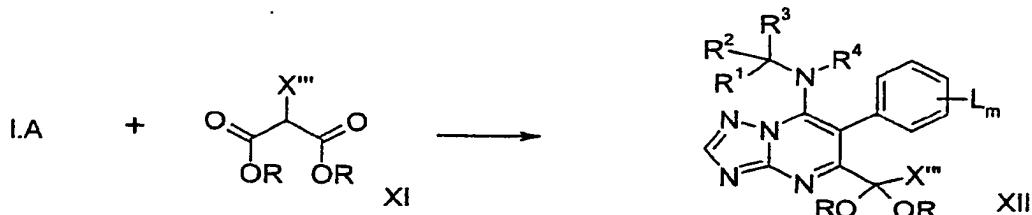
10 Chlorinating or brominating agents, such as phosphoryl bromide, phosphoryl chloride, thionyl chloride, thionyl bromide or sulfonyl chloride, are preferably used. The reaction can be carried out neat or in the presence of a solvent. Normal reaction temperatures are from 0 to 150°C or, preferably, from 80 to 125°C.



15 The reaction of IX with amines III is advantageously carried out at 0°C to 70°C, preferably 10°C to 35°C, preferably in the presence of an inert solvent, such as ethers, e.g. dioxane, diethyl ether or, in particular, tetrahydrofuran, halogenated hydrocarbons, such as dichloromethane, and aromatic hydrocarbons, such as, for example, toluene [cf. WO 98/46608].

20 The use of a base, such as tertiary amines, for example triethylamine, or inorganic bases, such as potassium carbonate, is preferred; excess amine of the formula III can also act as base.

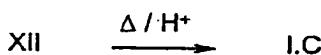
25 Compounds of the formula I.C can alternatively also be prepared from compounds I.A and malonates of the formula XI. In formula XI, X''' represents hydrogen, C_1 - C_3 -alkyl or C_1 - C_3 -haloalkyl and R represents C_1 - C_4 -alkyl. They are reacted to give compounds of the formula XII and decarboxylated to give compounds I.C [cf. US 5 994 360].



The malonates XI are known in the literature [J. Am. Chem. Soc., Vol. 64, 2714 (1942); J. Org. Chem., Vol. 39, 2172 (1974); Helv. Chim. Acta, Vol. 61, 1565 (1978)] or can be prepared according to the cited literature.

5

The subsequent saponification of the ester XII is carried out under generally conventional conditions; the basic or the acidic saponification of the compounds XII may be advantageous, depending on the various structural elements. Under the conditions of the ester saponification, the decarboxylation to give I.C may already be 10 completely or partially carried out.



The decarboxylation is usually carried out at temperatures of 20°C to 180°C, preferably 50°C to 120°C, in an inert solvent, optionally in the presence of an acid.

15 Suitable acids are hydrochloric acid, sulfuric acid, phosphoric acid, formic acid, acetic acid or p-toluenesulfonic acid. Suitable solvents are water, aliphatic hydrocarbons, such as pentane, hexane, cyclohexane and petroleum ether, aromatic hydrocarbons, such as toluene or o-, m- and p-xylene, halogenated hydrocarbons, such as methylene chloride, chloroform and chlorobenzene, ethers, such as diethyl ether, diisopropyl 20 ether, tert-butyl methyl ether, dioxane, anisole and tetrahydrofuran, nitriles, such as acetonitrile and propionitrile, ketones, such as acetone, methyl ethyl ketone, diethyl ketone and tert-butyl methyl ketone, alcohols, such as methanol, ethanol, n-propanol, isopropanol, n-butanol and tert-butanol, and dimethyl sulfoxide, dimethylformamide and dimethylacetamide; the reaction is particularly preferably carried out in hydrochloric 25 acid or acetic acid. Mixtures of the abovementioned solvents can also be used.

The reaction mixtures are worked up conventionally, e.g. by mixing with water, separating the phases and possibly chromatographic purification of the crude products. Some of the intermediates and final products are obtained in the form of colorless or 30 slightly brownish viscous oils which, under reduced pressure and at moderately elevated temperature, are freed from or purified of volatile constituents. Provided that the intermediates and final products are obtained as solids, the purification can also take place by recrystallization or trituration.

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If individual compounds I are not accessible by the routes described above, they can be prepared by derivatization of other compounds I.

If mixtures of isomers are obtained in the synthesis, a separation is generally not

5 absolutely essential, however, since the individual isomers can sometimes be converted into one another during the workup for the application or in the application (e.g. under the action of light, acid or bases). Appropriate conversions can also take place after the application, for example, with the treatment of plants, in the treated plants or in the harmful fungi to be controlled.

10 Collective terms were used in the definitions of the symbols given in the above formulae, which collective terms are generally representative of the following substituents:

15 halogen: fluorine, chlorine, bromine and iodine;

alkyl: saturated, straight-chain or branched hydrocarbon radicals with 1 to 4, 6 or 8 carbon atoms, e.g. C₁-C₆-alkyl, such as methyl, ethyl, propyl, 1-methylethyl, butyl, 1-methylpropyl, 2-methylpropyl, 1,1-dimethylethyl, pentyl, 1-methylbutyl, 2-methylbutyl, 3-methylbutyl, 2,2-dimethylpropyl, 1-ethylpropyl, hexyl, 1,1-dimethylpropyl, 1,2-dimethylpropyl, 1-methylpentyl, 2-methylpentyl, 3-methylpentyl, 4-methylpentyl, 1,1-dimethylbutyl, 1,2-dimethylbutyl, 1,3-dimethylbutyl, 2,2-dimethylbutyl, 2,3-dimethylbutyl, 3,3-dimethylbutyl, 1-ethylbutyl, 2-ethylbutyl, 1,1,2-trimethylpropyl, 1,2,2-trimethylpropyl, 1-ethyl-1-methylpropyl and 1-ethyl-2-methylpropyl;

25 haloalkyl: straight-chain or branched alkyl groups with 1 to 2, 4 or 6 carbon atoms (as mentioned above), in which the hydrogen atoms in these groups can be partially or completely replaced by halogen atoms as mentioned above, in particular C₁-C₂-haloalkyl, such as chloromethyl, bromomethyl, dichloromethyl, trichloromethyl, fluoromethyl, difluoromethyl, trifluoromethyl, chlorofluoromethyl, dichlorofluoromethyl, chlorodifluoromethyl, 1-chloroethyl, 1-bromoethyl, 1-fluoroethyl, 2-fluoroethyl, 2,2-difluoroethyl, 2,2,2-trifluoroethyl, 2-chloro-2-fluoroethyl, 2-chloro-2,2-difluoroethyl, 2,2-dichloro-2-fluoroethyl, 2,2,2-trichloroethyl, pentafluoroethyl or 1,1,1-trifluoroprop-2-yl;

35 alkenyl: unsaturated, straight-chain or branched hydrocarbon radicals with 2 to 4, 6, 8 or 10 carbon atoms and one or two double bonds in any position, e.g. C₂-C₆-alkenyl, such as ethenyl, 1-propenyl, 2-propenyl, 1-methylethenyl, 1-butenyl, 2-butenyl, 3-butenyl, 1-methyl-1-propenyl, 2-methyl-1-propenyl, 1-methyl-2-propenyl, 2-methyl-2-propenyl, 1-pentenyl, 2-pentenyl, 3-pentenyl, 4-pentenyl, 1-methyl-1-butenyl, 2-methyl-1-butenyl, 3-methyl-1-butenyl, 3-methyl-1-but enyl, 1-methyl-2-but enyl, 2-methyl-2-but enyl, 3-methyl-2-

butenyl, 1-methyl-3-butenyl, 2-methyl-3-butenyl, 3-methyl-3-butenyl, 1,1-dimethyl-2-propenyl, 1,2-dimethyl-1-propenyl, 1,2-dimethyl-2-propenyl, 1-ethyl-1-propenyl, 1-ethyl-2-propenyl, 1-hexenyl, 2-hexenyl, 3-hexenyl, 4-hexenyl, 5-hexenyl, 1-methyl-1-pentenyl, 2-methyl-1-pentenyl, 3-methyl-1-pentenyl, 4-methyl-1-pentenyl, 1-methyl-2-pentenyl, 2-methyl-2-pentenyl, 3-methyl-2-pentenyl, 4-methyl-2-pentenyl, 1-methyl-3-pentenyl, 2-methyl-3-pentenyl, 3-methyl-3-pentenyl, 4-methyl-3-pentenyl, 1-methyl-4-pentenyl, 2-methyl-4-pentenyl, 3-methyl-4-pentenyl, 4-methyl-4-pentenyl, 1,1-dimethyl-2-butenyl, 1,1-dimethyl-3-butenyl, 1,2-dimethyl-1-butenyl, 1,2-dimethyl-2-butenyl, 1,2-dimethyl-3-butenyl, 1,3-dimethyl-1-butenyl, 1,3-dimethyl-2-butenyl, 1,3-dimethyl-3-butenyl, 2,2-dimethyl-3-butenyl, 2,3-dimethyl-1-butenyl, 2,3-dimethyl-2-butenyl, 2,3-dimethyl-3-butenyl, 3,3-dimethyl-1-butenyl, 3,3-dimethyl-2-butenyl, 1-ethyl-1-butenyl, 1-ethyl-2-butenyl, 1-ethyl-3-butenyl, 2-ethyl-1-butenyl, 2-ethyl-2-butenyl, 2-ethyl-3-butenyl, 1,1,2-trimethyl-2-propenyl, 1-ethyl-1-methyl-2-propenyl, 1-ethyl-2-methyl-1-propenyl and 1-ethyl-2-methyl-2-propenyl;

15 Alkenylene: unsaturated, straight-chain hydrocarbon radicals with 3 or 4 carbon atoms and a double bond in any position.

If R^1 and R^2 are different, the carbon atom carrying the R^1 to R^3 groups represents a chiral center. The (R)- and (S)-isomers and the racemates of the compounds of the formula I come within the scope of the present invention.

20 The embodiments of the intermediates which are especially preferred with regard to the variables correspond to those of the radicals L_m , R^1 , R^2 , R^3 , R^4 and X of the formula I.

25 In view of the intended use of the triazolopyrimidines of the formula I, the following meanings of the substituents, in each case alone or in combination, are especially preferred:

30 Preference is given to compounds I in which R^1 is methyl or halomethyl, such as trifluoromethyl.

An additional preferred object are compounds I in which R^2 is hydrogen.

35 Preference is given to compounds I in which R^3 is straight-chain or branched C_2-C_{10} -alkenyl which can be unsubstituted or partially or completely halogenated and/or can carry one to three C_1-C_3 -alkoxy groups. A particularly preferred object are compounds I in which R^3 is straight-chain or branched C_2-C_{10} -alkenyl which is unsubstituted.

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9

Preference is similarly given to compounds I in which R³ and R⁴ together form a C₃-C₄-alkenylene chain which can be substituted by one or two methyl or halomethyl groups.

Particular preference is given to compounds I in which R⁴ represents hydrogen.

5

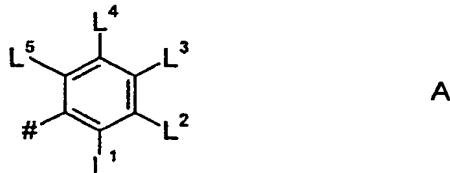
Preference is similarly given to compounds I in which R⁴ is methyl or ethyl.

Preference is given to compounds I in which at least one L group is in the ortho position with regard to the point of linkage with the triazolopyrimidine skeleton; in

10 particular those in which the index m has the value 1, 2 or 3.

Preference is given to compounds I in which L_m represents fluorine, chlorine, methyl, C₁-haloalkyl, methoxy, amino, NHR or NR₂, in which R is methyl or acetyl.

15 In addition, particular preference is given to compounds I, wherein the phenyl group substituted by L_m is the group A



in which # is the point of linkage with the triazolopyrimidine skeleton and

20 L¹ represents fluorine, chlorine, CH₃ or CF₃;

L² and L⁴ represent, independently of one another, hydrogen or fluorine;

25 L³ represents hydrogen, fluorine, chlorine, CH₃, OCH₃, amino, NHR or NR₂; and

L⁵ represents hydrogen, chlorine, fluorine or CH₃.

Special preference is given to compounds I, wherein L_m is one of the following substituent combinations: 2-fluoro-6-chloro, 2,6-difluoro, 2,6-dichloro, 2-fluoro-6-methyl,

30 2,4,6-trifluoro, 2,6-difluoro-4-methoxy, pentafluoro, 2-methyl-4-fluoro, 2-trifluoromethyl, 2-methoxy-6-fluoro, 2-chloro, 2-fluoro, 2,4-difluoro, 2-fluoro-4-chloro, 2-chloro-4-fluoro, 2,3-difluoro, 2,5-difluoro, 2,3,4-trifluoro, 2-methyl, 2,4-dimethyl, 2-methyl-4-chloro, 2-fluoro-4-methyl, 2,6-dimethyl, 2,4,6-trimethyl, 2,6-difluoro-4-methyl, 2-trifluoromethyl-4-fluoro, 2-trifluoromethyl-5-fluoro or 2-trifluoromethyl-5-chloro.

35

10

Special preference is given to compounds I in which X represents halogen or C₁-C₄-alkyl, such as chlorine or methyl, in particular chlorine.

Particular preference is given, in view of their use, to the compounds I compiled in the 5 following tables. The groups mentioned in the tables for a substituent additionally represent, considered per se, independently of the combination in which they are mentioned, a particularly preferred form of the substituent in question.

Table 1

10 Compounds of the formula I in which X represents chlorine, L_m represents 2-fluoro-6-chloro and R² represents hydrogen and the combination of R¹, R³ and R⁴ for a compound corresponds in each case to a row of table A

Table 2

15 Compounds of the formula I in which X represents chlorine, L_m represents 2,6-difluoro and R² represents hydrogen and the combination of R¹, R³ and R⁴ for a compound corresponds in each case to a row of table A

Table 3

20 Compounds of the formula I in which X represents chlorine, L_m represents 2,6-dichloro and R² represents hydrogen and the combination of R¹, R³ and R⁴ for a compound corresponds in each case to a row of table A

Table 4

25 Compounds of the formula I in which X represents chlorine, L_m represents 2-fluoro-6-methyl and R² represents hydrogen and the combination of R¹, R³ and R⁴ for a compound corresponds in each case to a row of table A

Table 5

30 Compounds of the formula I in which X represents chlorine, L_m represents 2,4,6-trifluoro and R² represents hydrogen and the combination of R¹, R³ and R⁴ for a compound corresponds in each case to a row of table A

Table 6

35 Compounds of the formula I in which X represents chlorine, L_m represents 2,6-difluoro-4-methoxy and R² represents hydrogen and the combination of R¹, R³ and R⁴ for a compound corresponds in each case to a row of table A

Table 7

40 Compounds of the formula I in which X represents chlorine, L_m represents pentafluoro

11

and R² represents hydrogen and the combination of R¹, R³ and R⁴ for a compound corresponds in each case to a row of table A

Table 8

5 Compounds of the formula I in which X represents chlorine, L_m represents 2-methyl-4-fluoro and R² represents hydrogen and the combination of R¹, R³ and R⁴ for a compound corresponds in each case to a row of table A

Table 9

10 Compounds of the formula I in which X represents chlorine, L_m represents 2-trifluoromethyl and R² represents hydrogen and the combination of R¹, R³ and R⁴ for a compound corresponds in each case to a row of table A

Table 10

15 Compounds of the formula I in which X represents chlorine, L_m represents 2-methoxy-6-fluoro and R² represents hydrogen and the combination of R¹, R³ and R⁴ for a compound corresponds in each case to a row of table A

Table 11

20 Compounds of the formula I in which X represents chlorine, L_m represents 2-chloro and R² represents hydrogen and the combination of R¹, R³ and R⁴ for a compound corresponds in each case to a row of table A

Table 12

25 Compounds of the formula I in which X represents chlorine, L_m represents 2-fluoro and R² represents hydrogen and the combination of R¹, R³ and R⁴ for a compound corresponds in each case to a row of table A

Table 13

30 Compounds of the formula I in which X represents chlorine, L_m represents 2,4-difluoro and R² represents hydrogen and the combination of R¹, R³ and R⁴ for a compound corresponds in each case to a row of table A

Table 14

35 Compounds of the formula I in which X represents chlorine, L_m represents 2-fluoro-4-chloro and R² represents hydrogen and the combination of R¹, R³ and R⁴ for a compound corresponds in each case to a row of table A

Table 15

40 Compounds of the formula I in which X represents chlorine, L_m represents 2-chloro-4-

12

fluoro and R² represents hydrogen and the combination of R¹, R³ and R⁴ for a compound corresponds in each case to a row of table A

Table 16

5 Compounds of the formula I in which X represents chlorine, L_m represents 2,3-difluoro and R² represents hydrogen and the combination of R¹, R³ and R⁴ for a compound corresponds in each case to a row of table A

Table 17

10 Compounds of the formula I in which X represents chlorine, L_m represents 2,5-difluoro and R² represents hydrogen and the combination of R¹, R³ and R⁴ for a compound corresponds in each case to a row of table A

Table 18

15 Compounds of the formula I in which X represents chlorine, L_m represents 2,3,4-trifluoro and R² represents hydrogen and the combination of R¹, R³ and R⁴ for a compound corresponds in each case to a row of table A

Table 19

20 Compounds of the formula I in which X represents chlorine, L_m represents 2-methyl and R² represents hydrogen and the combination of R¹, R³ and R⁴ for a compound corresponds in each case to a row of table A

Table 20

25 Compounds of the formula I in which X represents chlorine, L_m represents 2,4-dimethyl and R² represents hydrogen and the combination of R¹, R³ and R⁴ for a compound corresponds in each case to a row of table A

Table 21

30 Compounds of the formula I in which X represents chlorine, L_m represents 2-methyl-4-chloro and R² represents hydrogen and the combination of R¹, R³ and R⁴ for a compound corresponds in each case to a row of table A

Table 22

35 Compounds of the formula I in which X represents chlorine, L_m represents 2-fluoro-4-methyl and R² represents hydrogen and the combination of R¹, R³ and R⁴ for a compound corresponds in each case to a row of table A

Table 23

40 Compounds of the formula I in which X represents chlorine, L_m represents 2,6-dimethyl

13

and R² represents hydrogen and the combination of R¹, R³ and R⁴ for a compound corresponds in each case to a row of table A

Table 24

5 Compounds of the formula I in which X represents chlorine, L_m represents 2,4,6-trimethyl and R² represents hydrogen and the combination of R¹, R³ and R⁴ for a compound corresponds in each case to a row of table A

Table 25

10 Compounds of the formula I in which X represents chlorine, L_m represents 2,6-difluoro-4-methyl and R² represents hydrogen and the combination of R¹, R³ and R⁴ for a compound corresponds in each case to a row of table A

Table 26

15 Compounds of the formula I in which X represents chlorine, L_m represents 2-trifluoromethyl-4-fluoro and R² represents hydrogen and the combination of R¹, R³ and R⁴ for a compound corresponds in each case to a row of table A

Table 27

20 Compounds of the formula I in which X represents chlorine, L_m represents 2-trifluoromethyl-5-fluoro and R² represents hydrogen and the combination of R¹, R³ and R⁴ for a compound corresponds in each case to a row of table A

Table 28

25 Compounds of the formula I in which X represents chlorine, L_m represents 2-trifluoromethyl-5-chloro and R² represents hydrogen and the combination of R¹, R³ and R⁴ for a compound corresponds in each case to a row of table A

Table A

No.	R ¹	R ³	R ⁴
A-1	CH ₃	CH=CH ₂	H
A-2	CH ₃	CH=CH ₂	CH ₃
A-3	CH ₃	CH=CH ₂	CH ₂ CH ₃
A-4	CH ₃	C(CH ₃)=CH ₂	H
A-5	CH ₃	C(CH ₃)=CH ₂	CH ₃
A-6	CH ₃	C(CH ₃)=CH ₂	CH ₂ CH ₃
A-7	CH ₃	CH=CHCH ₃	H

No.	R ¹	R ³	R ⁴
A-8	CH ₃	CH=CHCH ₃	CH ₃
A-9	CH ₃	CH=CHCH ₃	CH ₂ CH ₃
A-10	CH ₃	CH=CHCH ₂ CH ₃	H
A-11	CH ₃	CH=CHCH ₂ CH ₃	CH ₃
A-12	CH ₃	CH=CHCH ₂ CH ₃	CH ₂ CH ₃
A-13	CH ₃	CH=C(CH ₃) ₂	H
A-14	CH ₃	CH=C(CH ₃) ₂	CH ₃
A-15	CH ₃	CH=C(CH ₃) ₂	CH ₂ CH ₃
A-16	CH ₃	C(CH ₃)=CHCH ₃	H
A-17	CH ₃	C(CH ₃)=CHCH ₃	CH ₃
A-18	CH ₃	C(CH ₃)=CHCH ₃	CH ₂ CH ₃
A-19	CH ₃	C(CH ₂ CH ₃)=CH ₂	H
A-20	CH ₃	C(CH ₂ CH ₃)=CH ₂	CH ₃
A-21	CH ₃	C(CH ₂ CH ₃)=CH ₂	CH ₂ CH ₃
A-22	CH ₃	CH=CH(CH ₂) ₂ CH ₃	H
A-23	CH ₃	CH=CH(CH ₂) ₂ CH ₃	CH ₃
A-24	CH ₃	CH=CH(CH ₂) ₂ CH ₃	CH ₂ CH ₃
A-25	CH ₃	CH=CHCH(CH ₃) ₂	H
A-26	CH ₃	CH=CHCH(CH ₃) ₂	CH ₃
A-27	CH ₃	CH=CHCH(CH ₃) ₂	CH ₂ CH ₃
A-28	CH ₃	CH=C(CH ₃)CH ₂ CH ₃	H
A-29	CH ₃	CH=C(CH ₃)CH ₂ CH ₃	CH ₃
A-30	CH ₃	CH=C(CH ₃)CH ₂ CH ₃	CH ₂ CH ₃
A-31	CH ₃	C(CH ₃)=CHCH ₂ CH ₃	H
A-32	CH ₃	C(CH ₃)=CHCH ₂ CH ₃	CH ₃
A-33	CH ₃	C(CH ₃)=CHCH ₂ CH ₃	CH ₂ CH ₃
A-34	CH ₃	C(CH ₃)=C(CH ₃) ₂	H
A-35	CH ₃	C(CH ₃)=C(CH ₃) ₂	CH ₃
A-36	CH ₃	C(CH ₃)=C(CH ₃) ₂	CH ₂ CH ₃
A-37	CH ₃	C(=CH ₂)CH(CH ₃) ₂	H

No.	R ¹	R ³	R ⁴
A-38	CH ₃	C(=CH ₂)CH(CH ₃) ₂	CH ₃
A-39	CH ₃	C(=CH ₂)CH(CH ₃) ₂	CH ₂ CH ₃
A-40	CH ₃	C(CH ₂ CH ₃)=CHCH ₃	H
A-41	CH ₃	C(CH ₂ CH ₃)=CHCH ₃	CH ₃
A-42	CH ₃	C(CH ₂ CH ₃)=CHCH ₃	CH ₂ CH ₃
A-43	CH ₃	C(=CH ₂)CH ₂ CH ₂ CH ₃	H
A-44	CH ₃	C(=CH ₂)CH ₂ CH ₂ CH ₃	CH ₃
A-45	CH ₃	C(=CH ₂)CH ₂ CH ₂ CH ₃	CH ₂ CH ₃
A-46	CH ₃	CH ₂ CH=CH ₂	H
A-47	CH ₃	CH ₂ CH=CH ₂	CH ₃
A-48	CH ₃	CH ₂ CH=CH ₂	CH ₂ CH ₃
A-49	CH ₃	CH ₂ C(CH ₃)=CH ₂	H
A-50	CH ₃	CH ₂ C(CH ₃)=CH ₂	CH ₃
A-51	CH ₃	CH ₂ C(CH ₃)=CH ₂	CH ₂ CH ₃
A-52	CH ₃	CH ₂ CH=CHCH ₃	H
A-53	CH ₃	CH ₂ CH=CHCH ₃	CH ₃
A-54	CH ₃	CH ₂ CH=CHCH ₃	CH ₂ CH ₃
A-55	CH ₃	CH(CH ₃)CH=CH ₂	H
A-56	CH ₃	CH(CH ₃)CH=CH ₂	CH ₃
A-57	CH ₃	CH(CH ₃)CH=CH ₂	CH ₂ CH ₃
A-58	CH ₃	CH ₂ CH=C(CH ₃) ₂	H
A-59	CH ₃	CH ₂ CH=C(CH ₃) ₂	CH ₃
A-60	CH ₃	CH ₂ CH=C(CH ₃) ₂	CH ₂ CH ₃
A-61	CH ₃	CH ₂ C(CH ₃)=CHCH ₃	H
A-62	CH ₃	CH ₂ C(CH ₃)=CHCH ₃	CH ₃
A-63	CH ₃	CH ₂ C(CH ₃)=CHCH ₃	CH ₂ CH ₃
A-64	CH ₃	CH ₂ CH ₂ CH=CH ₂	H
A-65	CH ₃	CH ₂ CH ₂ CH=CH ₂	CH ₃
A-66	CH ₃	CH ₂ CH ₂ CH=CH ₂	CH ₂ CH ₃
A-67	CH ₃	CH ₂ CH ₂ CH=CHCH ₃	H

No.	R ¹	R ³	R ⁴
A-68	CH ₃	CH ₂ CH ₂ CH=CHCH ₃	CH ₃
A-69	CH ₃	CH ₂ CH ₂ CH=CHCH ₃	CH ₂ CH ₃
A-70	CH ₃	CH ₂ CH ₂ CH=C(CH ₃) ₂	H
A-71	CH ₃	CH ₂ CH ₂ CH=C(CH ₃) ₂	CH ₃
A-72	CH ₃	CH ₂ CH ₂ CH=C(CH ₃) ₂	CH ₂ CH ₃
A-73	CH ₃	CH ₂ CH ₂ CH ₂ CH=CH ₂	H
A-74	CH ₃	CH ₂ CH ₂ CH ₂ CH=CH ₂	CH ₃
A-75	CH ₃	CH ₂ CH ₂ CH ₂ CH=CH ₂	CH ₂ CH ₃
A-76	CH ₃	CH ₂ CH ₂ CH ₂ CH=CHCH ₃	H
A-77	CH ₃	CH ₂ CH ₂ CH ₂ CH=CHCH ₃	CH ₃
A-78	CH ₃	CH ₂ CH ₂ CH ₂ CH=CHCH ₃	CH ₂ CH ₃
A-79	CH ₃	CH ₂ CH ₂ CH ₂ CH=C(CH ₃) ₂	H
A-80	CH ₃	CH ₂ CH ₂ CH ₂ CH=C(CH ₃) ₂	CH ₃
A-81	CH ₃	CH ₂ CH ₂ CH ₂ CH=C(CH ₃) ₂	CH ₂ CH ₃
A-82	CH ₃	(CH ₂) ₄ CH=CH ₂	H
A-83	CH ₃	(CH ₂) ₄ CH=CH ₂	CH ₃
A-84	CH ₃	(CH ₂) ₄ CH=CH ₂	CH ₂ CH ₃
A-85	CH ₃	-CH ₂ CH=CHCH ₂ #	
A-86	CH ₃	-CH=CHCH ₂ CH ₂ #	
A-87	CH ₃	-CH=CHCH ₂ CH(CH ₃)#	
A-88	CH ₃	-CH=CHCH ₂ #	
A-89	CH ₃	-CH=CHCH(CH ₃)#	
A-90	CF ₃	CH=CH ₂	H
A-91	CF ₃	CH=CH ₂	CH ₃
A-92	CF ₃	CH=CH ₂	CH ₂ CH ₃
A-93	CF ₃	C(CH ₃)=CH ₂	H
A-94	CF ₃	C(CH ₃)=CH ₂	CH ₃
A-95	CF ₃	C(CH ₃)=CH ₂	CH ₂ CH ₃
A-96	CF ₃	CH=CHCH ₃	H
A-97	CF ₃	CH=CHCH ₃	CH ₃

No.	R ¹	R ³	R ⁴
A-98	CF ₃	CH=CHCH ₃	CH ₂ CH ₃
A-99	CF ₃	CH=CHCH ₂ CH ₃	H
A-100	CF ₃	CH=CHCH ₂ CH ₃	CH ₃
A-101	CF ₃	CH=CHCH ₂ CH ₃	CH ₂ CH ₃
A-102	CF ₃	CH=C(CH ₃) ₂	H
A-103	CF ₃	CH=C(CH ₃) ₂	CH ₃
A-104	CF ₃	CH=C(CH ₃) ₂	CH ₂ CH ₃
A-105	CF ₃	C(CH ₃)=CHCH ₃	H
A-106	CF ₃	C(CH ₃)=CHCH ₃	CH ₃
A-107	CF ₃	C(CH ₃)=CHCH ₃	CH ₂ CH ₃
A-108	CF ₃	C(CH ₂ CH ₃)=CH ₂	H
A-109	CF ₃	C(CH ₂ CH ₃)=CH ₂	CH ₃
A-110	CF ₃	C(CH ₂ CH ₃)=CH ₂	CH ₂ CH ₃
A-111	CF ₃	CH=CH(CH ₂) ₂ CH ₃	H
A-112	CF ₃	CH=CH(CH ₂) ₂ CH ₃	CH ₃
A-113	CF ₃	CH=CH(CH ₂) ₂ CH ₃	CH ₂ CH ₃
A-114	CF ₃	CH=CHCH(CH ₃) ₂	H
A-115	CF ₃	CH=CHCH(CH ₃) ₂	CH ₃
A-116	CF ₃	CH=CHCH(CH ₃) ₂	CH ₂ CH ₃
A-117	CF ₃	CH=C(CH ₃)CH ₂ CH ₃	H
A-118	CF ₃	CH=C(CH ₃)CH ₂ CH ₃	CH ₃
A-119	CF ₃	CH=C(CH ₃)CH ₂ CH ₃	CH ₂ CH ₃
A-120	CF ₃	C(CH ₃)=CHCH ₂ CH ₃	H
A-121	CF ₃	C(CH ₃)=CHCH ₂ CH ₃	CH ₃
A-122	CF ₃	C(CH ₃)=CHCH ₂ CH ₃	CH ₂ CH ₃
A-123	CF ₃	C(CH ₃)=C(CH ₃) ₂	H
A-124	CF ₃	C(CH ₃)=C(CH ₃) ₂	CH ₃
A-125	CF ₃	C(CH ₃)=C(CH ₃) ₂	CH ₂ CH ₃
A-126	CF ₃	C(=CH ₂)CH(CH ₃) ₂	H
A-127	CF ₃	C(=CH ₂)CH(CH ₃) ₂	CH ₃

No.	R ¹	R ³	R ⁴
A-128	CF ₃	C(=CH ₂)CH(CH ₃) ₂	CH ₂ CH ₃
A-129	CF ₃	C(CH ₂ CH ₃)=CHCH ₃	H
A-130	CF ₃	C(CH ₂ CH ₃)=CHCH ₃	CH ₃
A-131	CF ₃	C(CH ₂ CH ₃)=CHCH ₃	CH ₂ CH ₃
A-132	CF ₃	C(=CH ₂)CH ₂ CH ₂ CH ₃	H
A-133	CF ₃	C(=CH ₂)CH ₂ CH ₂ CH ₃	CH ₃
A-134	CF ₃	C(=CH ₂)CH ₂ CH ₂ CH ₃	CH ₂ CH ₃
A-135	CF ₃	CH ₂ CH=CH ₂	H
A-136	CF ₃	CH ₂ CH=CH ₂	CH ₃
A-137	CF ₃	CH ₂ CH=CH ₂	CH ₂ CH ₃
A-138	CF ₃	CH ₂ C(CH ₃)=CH ₂	H
A-139	CF ₃	CH ₂ C(CH ₃)=CH ₂	CH ₃
A-140	CF ₃	CH ₂ C(CH ₃)=CH ₂	CH ₂ CH ₃
A-141	CF ₃	CH ₂ CH=CHCH ₃	H
A-142	CF ₃	CH ₂ CH=CHCH ₃	CH ₃
A-143	CF ₃	CH ₂ CH=CHCH ₃	CH ₂ CH ₃
A-144	CF ₃	CH(CH ₃)CH=CH ₂	H
A-145	CF ₃	CH(CH ₃)CH=CH ₂	CH ₃
A-146	CF ₃	CH(CH ₃)CH=CH ₂	CH ₂ CH ₃
A-147	CF ₃	CH ₂ CH=C(CH ₃) ₂	H
A-148	CF ₃	CH ₂ CH=C(CH ₃) ₂	CH ₃
A-149	CF ₃	CH ₂ CH=C(CH ₃) ₂	CH ₂ CH ₃
A-150	CF ₃	CH ₂ C(CH ₃)=CHCH ₃	H
A-151	CF ₃	CH ₂ C(CH ₃)=CHCH ₃	CH ₃
A-152	CF ₃	CH ₂ C(CH ₃)=CHCH ₃	CH ₂ CH ₃
A-153	CF ₃	CH ₂ CH ₂ CH=CH ₂	H
A-154	CF ₃	CH ₂ CH ₂ CH=CH ₂	CH ₃
A-155	CF ₃	CH ₂ CH ₂ CH=CH ₂	CH ₂ CH ₃
A-156	CF ₃	CH ₂ CH ₂ CH=CHCH ₃	H
A-157	CF ₃	CH ₂ CH ₂ CH=CHCH ₃	CH ₃

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No.	R ¹	R ³	R ⁴
A-158	CF ₃	CH ₂ CH ₂ CH=CHCH ₃	CH ₂ CH ₃
A-159	CF ₃	CH ₂ CH ₂ CH=C(CH ₃) ₂	H
A-160	CF ₃	CH ₂ CH ₂ CH=C(CH ₃) ₂	CH ₃
A-161	CF ₃	CH ₂ CH ₂ CH=C(CH ₃) ₂	CH ₂ CH ₃
A-162	CF ₃	CH ₂ CH ₂ CH ₂ CH=CH ₂	H
A-163	CF ₃	CH ₂ CH ₂ CH ₂ CH=CH ₂	CH ₃
A-164	CF ₃	CH ₂ CH ₂ CH ₂ CH=CH ₂	CH ₂ CH ₃
A-165	CF ₃	CH ₂ CH ₂ CH ₂ CH=CHCH ₃	H
A-166	CF ₃	CH ₂ CH ₂ CH ₂ CH=CHCH ₃	CH ₃
A-167	CF ₃	CH ₂ CH ₂ CH ₂ CH=CHCH ₃	CH ₂ CH ₃
A-168	CF ₃	CH ₂ CH ₂ CH ₂ CH=C(CH ₃) ₂	H
A-169	CF ₃	CH ₂ CH ₂ CH ₂ CH=C(CH ₃) ₂	CH ₃
A-170	CF ₃	CH ₂ CH ₂ CH ₂ CH=C(CH ₃) ₂	CH ₂ CH ₃
A-171	CF ₃	(CH ₂) ₄ CH=CH ₂	H
A-172	CF ₃	(CH ₂) ₄ CH=CH ₂	CH ₃
A-173	CF ₃	(CH ₂) ₄ CH=CH ₂	CH ₂ CH ₃
A-174	CF ₃	-CH ₂ CH=CHCH ₂ #	
A-175	CF ₃	-CH=CHCH ₂ CH ₂ #	
A-176	CF ₃	-CH=CHCH ₂ CH(CH ₃)#	
A-177	CF ₃	-CH=CHCH ₂ #	
A-178	CF ₃	-CH=CHCH(CH ₃)#	
A-179	CH ₂ F	CH=CH ₂	H
A-180	CH ₂ F	CH=CH ₂	CH ₃
A-181	CH ₂ F	CH=CH ₂	CH ₂ CH ₃
A-182	CH ₂ F	C(CH ₃)=CH ₂	H
A-183	CH ₂ F	C(CH ₃)=CH ₂	CH ₃
A-184	CH ₂ F	C(CH ₃)=CH ₂	CH ₂ CH ₃
A-185	CH ₂ F	CH=CHCH ₃	H
A-186	CH ₂ F	CH=CHCH ₃	CH ₃
A-187	CH ₂ F	CH=CHCH ₃	CH ₂ CH ₃

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No.	R ¹	R ³	R ⁴
A-188	CH ₂ F	CH=CHCH ₂ CH ₃	H
A-189	CH ₂ F	CH=CHCH ₂ CH ₃	CH ₃
A-190	CH ₂ F	CH=CHCH ₂ CH ₃	CH ₂ CH ₃
A-191	CH ₂ F	CH=C(CH ₃) ₂	H
A-192	CH ₂ F	CH=C(CH ₃) ₂	CH ₃
A-193	CH ₂ F	CH=C(CH ₃) ₂	CH ₂ CH ₃
A-194	CH ₂ F	C(CH ₃)=CHCH ₃	H
A-195	CH ₂ F	C(CH ₃)=CHCH ₃	CH ₃
A-196	CH ₂ F	C(CH ₃)=CHCH ₃	CH ₂ CH ₃
A-197	CH ₂ F	C(CH ₂ CH ₃)=CH ₂	H
A-198	CH ₂ F	C(CH ₂ CH ₃)=CH ₂	CH ₃
A-199	CH ₂ F	C(CH ₂ CH ₃)=CH ₂	CH ₂ CH ₃
A-200	CH ₂ F	CH=CH(CH ₂) ₂ CH ₃	H
A-201	CH ₂ F	CH=CH(CH ₂) ₂ CH ₃	CH ₃
A-202	CH ₂ F	CH=CH(CH ₂) ₂ CH ₃	CH ₂ CH ₃
A-203	CH ₂ F	CH=CHCH(CH ₃) ₂	H
A-204	CH ₂ F	CH=CHCH(CH ₃) ₂	CH ₃
A-205	CH ₂ F	CH=CHCH(CH ₃) ₂	CH ₂ CH ₃
A-206	CH ₂ F	CH=C(CH ₃)CH ₂ CH ₃	H
A-207	CH ₂ F	CH=C(CH ₃)CH ₂ CH ₃	CH ₃
A-208	CH ₂ F	CH=C(CH ₃)CH ₂ CH ₃	CH ₂ CH ₃
A-209	CH ₂ F	C(CH ₃)=CHCH ₂ CH ₃	H
A-210	CH ₂ F	C(CH ₃)=CHCH ₂ CH ₃	CH ₃
A-211	CH ₂ F	C(CH ₃)=CHCH ₂ CH ₃	CH ₂ CH ₃
A-212	CH ₂ F	C(CH ₃)=C(CH ₃) ₂	H
A-213	CH ₂ F	C(CH ₃)=C(CH ₃) ₂	CH ₃
A-214	CH ₂ F	C(CH ₃)=C(CH ₃) ₂	CH ₂ CH ₃
A-215	CH ₂ F	C(=CH ₂)CH(CH ₃) ₂	H
A-216	CH ₂ F	C(=CH ₂)CH(CH ₃) ₂	CH ₃
A-217	CH ₂ F	C(=CH ₂)CH(CH ₃) ₂	CH ₂ CH ₃

No.	R ¹	R ³	R ⁴
A-218	CH ₂ F	C(CH ₂ CH ₃)=CHCH ₃	H
A-219	CH ₂ F	C(CH ₂ CH ₃)=CHCH ₃	CH ₃
A-220	CH ₂ F	C(CH ₂ CH ₃)=CHCH ₃	CH ₂ CH ₃
A-221	CH ₂ F	C(=CH ₂)CH ₂ CH ₂ CH ₃	H
A-222	CH ₂ F	C(=CH ₂)CH ₂ CH ₂ CH ₃	CH ₃
A-223	CH ₂ F	C(=CH ₂)CH ₂ CH ₂ CH ₃	CH ₂ CH ₃
A-224	CH ₂ F	CH ₂ CH=CH ₂	H
A-225	CH ₂ F	CH ₂ CH=CH ₂	CH ₃
A-226	CH ₂ F	CH ₂ CH=CH ₂	CH ₂ CH ₃
A-227	CH ₂ F	CH ₂ C(CH ₃)=CH ₂	H
A-228	CH ₂ F	CH ₂ C(CH ₃)=CH ₂	CH ₃
A-229	CH ₂ F	CH ₂ C(CH ₃)=CH ₂	CH ₂ CH ₃
A-230	CH ₂ F	CH ₂ CH=CHCH ₃	H
A-231	CH ₂ F	CH ₂ CH=CHCH ₃	CH ₃
A-232	CH ₂ F	CH ₂ CH=CHCH ₃	CH ₂ CH ₃
A-233	CH ₂ F	CH(CH ₃)CH=CH ₂	H
A-234	CH ₂ F	CH(CH ₃)CH=CH ₂	CH ₃
A-235	CH ₂ F	CH(CH ₃)CH=CH ₂	CH ₂ CH ₃
A-236	CH ₂ F	CH ₂ CH=C(CH ₃) ₂	H
A-237	CH ₂ F	CH ₂ CH=C(CH ₃) ₂	CH ₃
A-238	CH ₂ F	CH ₂ CH=C(CH ₃) ₂	CH ₂ CH ₃
A-239	CH ₂ F	CH ₂ C(CH ₃)=CHCH ₃	H
A-240	CH ₂ F	CH ₂ C(CH ₃)=CHCH ₃	CH ₃
A-241	CH ₂ F	CH ₂ C(CH ₃)=CHCH ₃	CH ₂ CH ₃
A-242	CH ₂ F	CH ₂ CH ₂ CH=CH ₂	H
A-243	CH ₂ F	CH ₂ CH ₂ CH=CH ₂	CH ₃
A-244	CH ₂ F	CH ₂ CH ₂ CH=CH ₂	CH ₂ CH ₃
A-245	CH ₂ F	CH ₂ CH ₂ CH=CHCH ₃	H
A-246	CH ₂ F	CH ₂ CH ₂ CH=CHCH ₃	CH ₃
A-247	CH ₂ F	CH ₂ CH ₂ CH=CHCH ₃	CH ₂ CH ₃

No.	R ¹	R ³	R ⁴
A-248	CH ₂ F	CH ₂ CH ₂ CH=C(CH ₃) ₂	H
A-249	CH ₂ F	CH ₂ CH ₂ CH=C(CH ₃) ₂	CH ₃
A-250	CH ₂ F	CH ₂ CH ₂ CH=C(CH ₃) ₂	CH ₂ CH ₃
A-251	CH ₂ F	CH ₂ CH ₂ CH ₂ CH=CH ₂	H
A-252	CH ₂ F	CH ₂ CH ₂ CH ₂ CH=CH ₂	CH ₃
A-253	CH ₂ F	CH ₂ CH ₂ CH ₂ CH=CH ₂	CH ₂ CH ₃
A-254	CH ₂ F	CH ₂ CH ₂ CH ₂ CH=CHCH ₃	H
A-255	CH ₂ F	CH ₂ CH ₂ CH ₂ CH=CHCH ₃	CH ₃
A-256	CH ₂ F	CH ₂ CH ₂ CH ₂ CH=CHCH ₃	CH ₂ CH ₃
A-257	CH ₂ F	CH ₂ CH ₂ CH ₂ CH=C(CH ₃) ₂	H
A-258	CH ₂ F	CH ₂ CH ₂ CH ₂ CH=C(CH ₃) ₂	CH ₃
A-259	CH ₂ F	CH ₂ CH ₂ CH ₂ CH=C(CH ₃) ₂	CH ₂ CH ₃
A-260	CH ₂ F	(CH ₂) ₄ CH=CH ₂	H
A-261	CH ₂ F	(CH ₂) ₄ CH=CH ₂	CH ₃
A-262	CH ₂ F	(CH ₂) ₄ CH=CH ₂	CH ₂ CH ₃
A-263	CH ₂ F	-CH ₂ CH=CHCH ₂ #	
A-264	CH ₂ F	-CH=CHCH ₂ CH ₂ #	
A-265	CH ₂ F	-CH=CHCH ₂ CH(CH ₃)#	
A-266	CH ₂ F	-CH=CHCH ₂ #	
A-267	CH ₂ F	-CH=CHCH(CH ₃)#	

indicates the bond to the nitrogen atom

5 The compounds I are suitable as fungicides. They are distinguished by an outstanding effectiveness against a broad spectrum of phytopathogenic fungi, especially from the classes of the *Ascomycetes*, *Deuteromycetes*, *Oomycetes* and *Basidiomycetes*. Some are systemically effective and they can be used in plant protection as foliar and soil fungicides.

10 They are particularly important in the control of a multitude of fungi on various cultivated plants, such as wheat, rye, barley, oats, rice, maize, grass, bananas, cotton, soya, coffee, sugar cane, vines, fruits and ornamental plants, and vegetables, such as cucumbers, beans, tomatoes, potatoes and cucurbits, and on the seeds of these plants.

They are especially suitable for controlling the following plant diseases:

- *Alternaria* species on fruit and vegetables,
- *Bipolaris* and *Drechslera* species on cereals, rice and lawns,
- 5 • *Blumeria graminis* (powdery mildew) on cereals,
- *Botrytis cinerea* (gray mold) on strawberries, vegetables, ornamental plants and grapevines,
- *Erysiphe cichoracearum* and *Sphaerotheca fuliginea* on cucurbits,
- *Fusarium* and *Verticillium* species on various plants,
- 10 • *Mycosphaerella* species on cereals, bananas and peanuts,
- *Phytophthora infestans* on potatoes and tomatoes,
- *Plasmopara viticola* on grapevines,
- *Podosphaera leucotricha* on apples,
- *Pseudocercospora herpotrichoides* on wheat and barley,
- 15 • *Pseudoperonospora* species on hops and cucumbers,
- *Puccinia* species on cereals,
- *Pyricularia oryzae* on rice,
- *Rhizoctonia* species on cotton, rice and lawns,
- *Septoria tritici* and *Stagonospora nodorum* on wheat,
- 20 • *Uncinula necator* on grapevines,
- *Ustilago* species on cereals and sugar cane, and
- *Venturia* species (scab) on apples and pears.

25 The compounds I are also suitable for controlling harmful fungi, such as *Paecilomyces variotii*, in the protection of materials (e.g. wood, paper, paint dispersions, fibers or fabrics) and in the protection of stored products.

30 The compounds I are employed by treating the fungi or the plants, seeds, materials or soil to be protected from fungal attack with a fungicidally effective amount of the active compounds. The application can be carried out both before and after the infection of the materials, plants or seeds by the fungi.

The fungicidal compositions generally comprise between 0.1 and 95%, preferably between 0.5 and 90%, by weight of active compound.

35 When employed in plant protection, the amounts applied are, depending on the kind of effect desired, between 0.01 and 2.0 kg of active compound per ha.

40 In seed treatment, amounts of active compound of 0.001 to 0.1 g, preferably 0.01 to 0.05 g, per kilogram of seed are generally necessary.

When used in the protection of materials or stored products, the amount of active compound applied depends on the kind of application area and on the effect desired.

Amounts customarily applied in the protection of materials are, for example, 0.001 g to

5 2 kg, preferably 0.005 g to 1 kg, of active compound per cubic meter of treated material.

The compounds I can be converted to the usual formulations, e.g. solutions, emulsions, suspensions, dusts, powders, pastes and granules. The application form depends on

10 the respective use intended; it should in any case guarantee a fine and uniform distribution of the compound according to the invention.

The formulations are prepared in a known way, e.g. by extending the active compound with solvents and/or carriers, if desired using emulsifiers and dispersants, it being

15 possible, when water is the diluent, also to use other organic solvents as auxiliary solvents. Suitable auxiliaries for this purpose are essentially: solvents, such as aromatics (e.g. xylene), chlorinated aromatics (e.g. chlorobenzenes), paraffins (e.g. petroleum fractions), alcohols (e.g. methanol, butanol), ketones (e.g. cyclohexanone), amines (e.g. ethanolamine, dimethylformamide) and water; carriers, such as ground

20 natural minerals (e.g. kaolins, clays, talc, chalk) and ground synthetic ores (e.g. highly dispersed silicic acid, silicates); emulsifiers, such as nonionic and anionic emulsifiers (e.g. polyoxyethylene fatty alcohol ethers, alkylsulfonates and arylsulfonates) and dispersants, such as lignosulfite waste liquors and methylcellulose.

25 Suitable surfactants are alkali metal, alkaline earth metal and ammonium salts of lignosulfonic acid, naphthalenesulfonic acid, phenolsulfonic acid and dibutylnaphthalensulfonic acid, alkylarylsulfonates, alkyl sulfates, alkylsulfonates, fatty alcohol sulfates and fatty acids, and alkali metal and alkaline earth metal salts thereof, salts of sulfated fatty alcohol glycol ethers, condensation products of sulfonated

30 naphthalene and naphthalene derivatives with formaldehyde, condensation products of naphthalene or of naphthalenesulfonic acid with phenol and formaldehyde, polyoxyethylene octylphenol ethers, ethoxylated isooctylphenol, octylphenol and nonylphenol, alkylphenol polyglycol ethers, tributylphenyl polyglycol ethers, alkylaryl polyether alcohols, isotridecyl alcohol, fatty alcohol ethylene oxide condensates,

35 ethoxylated castor oil, polyoxyethylene alkyl ethers, ethoxylated polyoxypropylene, lauryl alcohol polyglycol ether acetal, sorbitol esters, lignosulfite waste liquors and methylcellulose.

40 Petroleum fractions having medium to high boiling points, such as kerosene or diesel fuel, furthermore coal tar oils, and oils of vegetable or animal origin, aliphatic, cyclic

and aromatic hydrocarbons, e.g. benzene, toluene, xylene, paraffin, tetrahydronaphthalene, alkylated naphthalenes or derivatives thereof, methanol, ethanol, propanol, butanol, chloroform, carbon tetrachloride, cyclohexanol, cyclohexanone, chlorobenzene or isophorone, or highly polar solvents, e.g.

5 dimethylformamide, dimethyl sulfoxide, N-methylpyrrolidone or water, are suitable for the preparation of directly sprayable solutions, emulsions, pastes or oil dispersions.

Powders, preparations for broadcasting and dusts can be prepared by mixing or mutually grinding the active substances with a solid carrier.

10 Granules, e.g. coated granules, impregnated granules and homogeneous granules, can be prepared by binding the active compounds to solid carriers. Solid carriers are, e.g., mineral earths, such as silica gels, silicates, talc, kaolin, atta clay, limestone, lime, chalk, bole, loess, clay, dolomite, diatomaceous earth, calcium sulfate, magnesium sulfate, magnesium oxide, ground synthetic materials, fertilizers, such as, e.g., ammonium sulfate, ammonium phosphate, ammonium nitrate or ureas, and plant products, such as cereal meal, tree bark meal, wood meal and nutshell meal, cellulose powders and other solid carriers.

15

20 The formulations generally comprise between 0.01 and 95% by weight, preferably between 0.1 and 90% by weight, of the active compound. The active compounds are employed therein in a purity of 90% to 100%, preferably 95% to 100% (according to the NMR spectrum).

25 Examples for formulations are:

I. 5 parts by weight of a compound according to the invention are intimately mixed with 95 parts by weight of finely divided kaolin. In this way, a dust comprising 5% by weight of the active compound is obtained.

30 II. 30 parts by weight of a compound according to the invention are intimately mixed with a mixture of 92 parts by weight of pulverulent silica gel and 8 parts by weight of liquid paraffin, which had been sprayed onto the surface of this silica gel. In this way, an active compound preparation with good adhesive properties (active compound content 23% by weight) is obtained.

35

III. 10 parts by weight of a compound according to the invention are dissolved in a mixture consisting of 90 parts by weight of xylene, 6 parts by weight of the addition product of 8 to 10 mol of ethylene oxide with 1 mol of the N-monoethanolamide of oleic acid, 2 parts by weight of the calcium salt of dodecylbenzenesulfonic acid and 2 parts

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by weight of the addition product of 40 mol of ethylene oxide with 1 mol of castor oil (active compound content 9% by weight).

IV. 20 parts by weight of a compound according to the invention are dissolved in a mixture consisting of 60 parts by weight of cyclohexanone, 30 parts by weight of isobutanol, 5 parts by weight of the addition product of 7 mol of ethylene oxide with 1 mol of iso-octylphenol and 5 parts by weight of the addition product of 40 mol of ethylene oxide with 1 mol of castor oil (active compound content 16% by weight).

V. 80 parts by weight of a compound according to the invention are intimately mixed with 3 parts by weight of the sodium salt of diisobutyl-naphthalene- α -sulfonic acid, 10 parts by weight of the sodium salt of a lignosulfonic acid from a sulfite waste liquor and 7 parts by weight of pulverulent silica gel and are ground in a hammer mill (active compound content 80% by weight).

VI. 90 parts by weight of a compound according to the invention are mixed with 10 parts by weight of N-methyl- α -pyrrolidone and a solution is obtained which is suitable for use in the form of very small drops (active compound content 90% by weight).

VII. 20 parts by weight of a compound according to the invention are dissolved in a mixture consisting of 40 parts by weight of cyclohexanone, 30 parts by weight of isobutanol, 20 parts by weight of the addition product of 7 mol of ethylene oxide with 1 mol of iso-octylphenol and 10 parts by weight of the addition product of 40 mol of ethylene oxide with 1 mol of castor oil. By running the solution into 100 000 parts by weight of water and finely dispersing it therein, an aqueous dispersion is obtained comprising 0.02% by weight of the active compound.

VIII. 20 parts by weight of a compound according to the invention are intimately mixed with 3 parts by weight of the sodium salt of diisobutyl-naphthalene- α -sulfonic acid, 17 parts by weight of the sodium salt of a lignosulfonic acid from a sulfite waste liquor and 60 parts by weight of pulverulent silica gel and are ground in a hammer mill. A spray emulsion comprising 0.1% by weight of the active compound is obtained by fine dispersion of the mixture in 20 000 parts by weight of water.

The active compounds can be used as such, in the form of their formulations or of the application forms prepared therefrom, e.g. in the form of directly sprayable solutions, powders, suspensions or dispersions, emulsions, oil dispersions, pastes, dusts, preparations for broadcasting or granules, by spraying, atomizing, dusting, broadcasting or watering. The application forms depend entirely on the intended uses;

they should always guarantee the finest possible dispersion of the active compounds according to the invention.

5 Aqueous use forms can be prepared from emulsifiable concentrates, pastes or
wettable powders (spray powders, oil dispersions) by addition of water. To prepare
emulsions, pastes or oil dispersions, the substances can be homogenized in water, as
such or dissolved in an oil or solvent, by means of wetting agents, tackifiers,
dispersants or emulsifiers. However, concentrates comprising active substance,
wetting agent, tackifier, dispersant or emulsifier and possibly solvent or oil can also be
10 prepared, which concentrates are suitable for dilution with water.

The concentrations of active compound in the ready-for-use preparations can be varied
within relatively wide ranges. In general, they are between 0.0001 and 10%, preferably
between 0.01 and 1%.

15 The active compounds can also be used with great success in the ultra low volume
(ULV) process, it being possible to apply formulations with more than 95% by weight of
active compound or even the active compound without additives.

20 Oils of various types, herbicides, fungicides, other pesticides and bactericides can be
added to the active compounds, if need be too not until immediately before use (tank
mix). These agents can be added to the preparations according to the invention in a
weight ratio of 1:10 to 10:1.

25 The preparations according to the invention can, in the application form as fungicides,
also be present together with other active compounds, e.g. with herbicides,
insecticides, growth regulators, fungicides or also with fertilizers. On mixing the
compounds I or the preparations comprising them in the application form as fungicides
with other fungicides, in many cases an expansion of the fungicidal spectrum of activity
30 is obtained.

The following lists of fungicides, with which the compounds according to the invention
can be used in conjunction, is intended to illustrate the possible combinations but does
not limit them:

35

- acylalanines, such as benalaxyl, metalaxyl, ofurace or oxadixyl,
- amine derivatives, such as aldimorph, dodine, dodemorph, fenpropimorph,
fenpropidin, guazatine, iminoctadine, spiroxamine or tridemorph,
- anilinopyrimidines, such as pyrimethanil, mepanipyrim or cyprodinil,

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- antibiotics, such as cycloheximide, griseofulvin, kasugamycin, natamycin, polyoxin or streptomycin,
- azoles, such as bitertanol, bromoconazole, cyproconazole, difenoconazole, diniconazole, epoxiconazole, fenbuconazole, fluquinconazole, flusilazole, flutriafol, hexaconazole, imazalil, metconazole, myclobutanil, penconazole, propiconazole, prochloraz, prothioconazole, tebuconazole, triadimefon, triadimenol, triflumizole or triticonazole,
- dicarboximides, such as iprodione, myclozolin, procymidone or vinclozolin,
- dithiocarbamates, such as ferbam, nabam, maneb, mancozeb, metam, metiram, propineb, polycarbamate, thiram, ziram or zineb,
- heterocyclic compounds, such as anilazine, benomyl, boscalid, carbendazim, carboxin, oxycarboxin, cyazofamid, dazomet, dithianon, famoxadone, fenamidone, fenarimol, fuberidazole, flutolanil, furametpyr, isoprothiolane, mepronil, nuarimol, probenazole, proquinazid, pyrifenoxy, pyroquilon, quinoxyfen, silthiofam, thiabendazole, thifluzamide, thiophanate-methyl, tiadinil, tricyclazole or triforine,
- copper fungicides, such as Bordeaux mixture, copper acetate, copper oxychloride or basic copper sulfate,
- nitrophenyl derivatives, such as binapacryl, dinocap, dinobuton or nitrothal-isopropyl,
- phenylpyrroles, such as fenpiclonil or fludioxonil,
- sulfur,
- other fungicides, such as acibenzolar-S-methyl, benthiavalicarb, carpropamid, chlorothalonil, cyflufenamid, cymoxanil, dazomet, diclomezine, diclocymet, diethofencarb, edifenphos, ethaboxam, fenhexamid, fentin acetate, fenoxyanil, ferimzone, fluazinam, fosetyl, fosetyl-aluminum, iprovalicarb, hexachlorobenzene, metrafenone, pencycuron, propamocarb, phthalide, tolclofos-methyl, quintozone or zoxamide,
- strobilurins, such as azoxystrobin, dimoxystrobin, fluoxastrobin, kresoxim-methyl, metominostrobin, orysastrobin, picoxystrobin, pyraclostrobin or trifloxystrobin,
- sulfenic acid derivatives, such as captafol, captan, dichlofluanid, folpet or tolylfluanid,
- cinnamamides and analogous compounds, such as dimethomorph, flumetover or flumorph.

35 Synthesis examples

The procedure described in the following synthesis example was used to prepare further compounds I by appropriate modification of the starting compounds. The compounds thus obtained are listed in the following table, together with physical data.

Example 1 - Preparation of 5-chloro-6-(2,4,6-trifluorophenyl)-7-(1-methyl-2-propen-1-yl)amino[1,2,4]triazolo[1,5-a]pyrimidine [I-1]

A solution of 1.5 mmol of (1-methyl-2-propen-1-yl)amine [cf. US 4 120 901; J. Chem. Soc., Chem. Commun., p. 794 (1984)] and 1.5 mmol of triethylamine in 10 ml of dichloromethane was added, with stirring, to a solution of 1.5 mmol of 5,7-dichloro-6-(2,4,6-trifluorophenyl)[1,2,4]triazolo[1,5-a]pyrimidine [cf. WO 98/46607] in 20 ml of dichloromethane. The reaction mixture was stirred at 20–25°C for approximately 16 hours and was then washed with dilute HCl solution. After separation of the phases, 10 the organic phase was dried and freed from the solvent. After chromatographing the residue on silica gel, 0.52 g of the title compound was obtained, with a melting point of 101°C.

Example 2 - Preparation of 5-cyano-6-(2,4,6-trifluorophenyl)-7-(2,5-dimethylpyrro-3-en-1-yl)amino[1,2,4]triazolo[1,5-a]pyrimidine

A mixture of 0.1 mol of the compound 1-10 and 0.25 mol of tetraethylammonium cyanide in 750 ml of dimethylformamide (DMF) was stirred at 20–25°C for approximately 16 hours. After addition of water and methyl tert-butyl ether (MTBE) and 20 phase separation, the organic phase was washed with water, then dried and freed from solvent. After chromatographing the residue on silica gel, 4.32 g of the title compound were obtained, with a melting point of 206°C.

Example 3 - Preparation of 5-methoxy-6-(2,4,6-trifluorophenyl)-7-(2,5-dimethylpyrro-3-en-1-yl)amino[1,2,4]triazolo[1,5-a]pyrimidine

A solution of 65 mmol of the compound 1-10 in 400 ml of anhydrous methanol was treated with 71.5 mmol of sodium methoxide solution (30%) at 20–25°C. After stirring at this temperature for approximately 16 hours, the solvent was distilled off and the 30 residue was taken up in dichloromethane. After washing with water, the organic phase was dried and then freed from solvent. After chromatographing the residue on silica gel, 4.05 g of the title compound were obtained, with a melting point of 149°C.

Example 4 - Preparation of 5-methyl-6-(2,4,6-trifluorophenyl)-7-(2,5-dimethylpyrro-3-en-1-yl)amino[1,2,4]triazolo[1,5-a]pyrimidine

A mixture of 20 ml of diethyl malonate and 0.27 g (5.65 mmol) of sodium hydride (50% dispersion in mineral oil) in 50 ml of acetonitrile was stirred at 20–25°C for approximately 2 hours. 4.7 mmol of the compound 1-10 were added and then the 40 mixture was stirred at 60°C for approximately 20 hours. After addition of 50 ml of

30

aqueous ammonium chloride solution, acidification was carried out with dilute HCl solution and then the mixture was extracted with MTBE. After drying, the combined organic phases were freed from the solvent. The crude product was purified by chromatographing on silica gel and was taken up in concentrated HCl, and the mixture was then stirred at 80°C for approximately 24 hours. After cooling, the pH was adjusted to 5 with aqueous NaOH solution and the reaction mixture was extracted with MTBE. After drying, the combined organic phases were freed from the solvent. After chromatographing the residue on silica gel, 0.62 g of the title compound was obtained.

5 10 ^1H NMR (δ in ppm): 8.42 (s); 6.85 (m); 5.75 (s); 4.75 (q); 2.42 (s); 1.10 (s).

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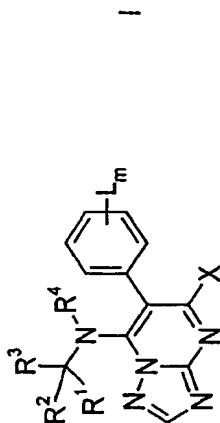
I						
						
No.	R ¹	R ²	R ³	R ⁴	X	L _m
I-1	CH ₃	H	CH=CH ₂	H	Cl	2,4,6-F ₃
I-2	CH ₃	H	C(CH ₃)=CH ₂	H	Cl	2,4,6-F ₃
I-3	CH ₃	H	CH=CHCH ₃	H	Cl	2,4,6-F ₃
I-4	CH ₃	H	CH=C(CH ₃) ₂	H	Cl	2,4,6-F ₃
I-5	CH ₃	H	C(CH ₃)=CHCH ₃	H	Cl	2,4,6-F ₃
I-6	CH ₃	H	CH=G(CH ₃) ₂	H	Cl	2-CH ₃ -4-F
I-7	CH ₃	H	C(CH ₃)=CHCH ₃	H	Cl	2-CH ₃ -4-F
I-8	CH ₃	H	-CH=CHC(CH ₃)#	Cl	2-Cl-6-F	131
I-9	CH ₃	H	-CH=CHC(CH ₃)#	Cl	2,6-F ₂	143
I-10	CH ₃	H	-CH=CHC(CH ₃)#	Cl	2,4,6-F ₃	105
I-11	CH ₃	H	CH=G(CH ₃) ₂	H	Cl	2,4-F ₂
I-12	CH ₃	H	C(CH ₃)=CHCH ₃	H	Cl	2,4-F ₂
I-13	CH ₃	H	-CH=CHC(CH ₃)#	Cl	2-Cl	151
I-14	CH ₃	H	-CH=CHC(CH ₃)#	Cl	2-F	149
I-15	CH ₃	H	CH=CH ₂	H	Cl	2-CH ₃ -4-F
I-16	CH ₃	H	C(CH ₃)=CH ₂	H	Cl	2-CH ₃ -4-F

Table I

No.	R ¹	R ²	R ³	R ⁴	X	Phys. data (M.p. °C)
I-1	CH ₃	H	CH=CH ₂	H	Cl	101
I-2	CH ₃	H	C(CH ₃)=CH ₂	H	Cl	154
I-3	CH ₃	H	CH=CHCH ₃	H	Cl	86
I-4	CH ₃	H	CH=C(CH ₃) ₂	H	Cl	143
I-5	CH ₃	H	C(CH ₃)=CHCH ₃	H	Cl	127
I-6	CH ₃	H	CH=G(CH ₃) ₂	H	Cl	115
I-7	CH ₃	H	C(CH ₃)=CHCH ₃	H	Cl	105
I-8	CH ₃	H	-CH=CHC(CH ₃)#	Cl	2-Cl-6-F	131
I-9	CH ₃	H	-CH=CHC(CH ₃)#	Cl	2,6-F ₂	143
I-10	CH ₃	H	-CH=CHC(CH ₃)#	Cl	2,4,6-F ₃	105
I-11	CH ₃	H	CH=G(CH ₃) ₂	H	Cl	118
I-12	CH ₃	H	C(CH ₃)=CHCH ₃	H	Cl	111
I-13	CH ₃	H	-CH=CHC(CH ₃)#	Cl	2-Cl	151
I-14	CH ₃	H	-CH=CHC(CH ₃)#	Cl	2-F	149
I-15	CH ₃	H	CH=CH ₂	H	Cl	96
I-16	CH ₃	H	C(CH ₃)=CH ₂	H	Cl	121

No.	R ¹	R ²	R ³	R ⁴	X	L _m	Phys. data (M.p. [°C])
I-17	CH ₃	H	CH=CHCH ₃	H	Cl	2-CH ₃ 4-F	85
I-18	CH ₃	H	CH ₂ CH=CH ₂	H	Cl	2,4,6-F ₃	105
I-19	CH ₃	H	CH ₂ CH=CH ₂	H	Cl	2-CH ₃ 4-F	126
I-20	CH ₃	H	CH=CH ₂	H	Cl	2,4-F ₂	72
I-21	CH ₃	H	C(CH ₃)=CH ₂	H	Cl	2,4-F ₂	92
I-22	CH ₃	H	CH=CHCH ₃	H	Cl	2,4-F ₂	72
I-23	CH ₃	H	CH=CH ₂	H	Cl	2-Cl4-F	80
I-24	CH ₃	H	C(CH ₃)=CH ₂	H	Cl	2-Cl4-F	72
I-25	CH ₃	H	CH=CHCH ₃	H	Cl	2-Cl4-F	98
I-26	CH ₃	H	CH=C(CH ₃) ₂	H	Cl	2-Cl4-F	132
I-27	CH ₃	H	CH=CH ₂	CH ₃	Cl	2,4,6-F ₃	158
I-28	CH ₃	H	CH=CH ₂	CH ₂ CH ₃	Cl	2,4,6-F ₃	91
I-29	CH ₃	H	(CH ₂) ₂ CH=CH ₂	H	Cl	2,4,6-F ₃	119
I-30	CH ₃	H	-CH ₂ CH=CHCH ₂ #	Cl	2,4,6-F ₃	151	
I-31	CH ₃	H	(CH ₂) ₂ CH=CH ₂	H	Cl	2-CH ₃ 4-F	107
I-32	CH ₃	H	-CH=CHC(CH ₃)#	Cl	2-CH ₃ 4-F	183	
I-33	CH ₃	H	C(CH ₃)=CHCH ₃	H	Cl	2-CH ₃ 4-F	118
I-34	CH ₃	H	(CH ₂) ₂ CH=CH ₂	H	Cl	2,4-F ₂	90
I-35	CH ₃	H	(CH ₂) ₂ CH=CH ₂	H	Cl	2-Cl4-F	98

Indicates the bond to the nitrogen atom.

Because of the hindered rotation of the phenyl group, two diastereoisomers may exist which may differ in their physical properties.

Examples for the action against harmful fungi

The fungicidal action of the compounds of the formula I can be demonstrated by the following tests:

5 The active compounds were prepared, separately or together, as a stock solution with 0.25% by weight of active compound in acetone or DMSO. 1% by weight of the emulsifier Uniperol® EL (wetting agent with an emulsifying and dispersing action based on ethoxylated alkylphenols) was added to this solution and appropriately diluted with water to the desired concentration.

10

Use example 1 – Activity against early blight of tomato caused by *Alternaria solani*

15 Leaves of pot plants of the variety "Große Fleischtomate St. Pierre" were sprayed to runoff point with an aqueous suspension in the active compound concentration given below. On the following day, the leaves were infected with an aqueous suspension of spores of *Alternaria solani* in 2% Biomalz solution with a concentration of 0.17×10^6 spores/ml. The plants were subsequently placed in a chamber saturated with water vapor at temperatures between 20 and 22°C. After 5 days, leaf infection in the untreated but 20 infected control plants had so extensively developed that the infection could be visually determined in %.

In this test, the plants treated with 250 ppm of the active compounds Nos. I-1, I-5 and I-7 showed no infection, while the untreated plants were 100% infected.

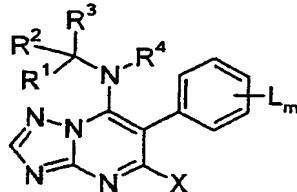
25 Use example 2 – Activity against gray mold on capsicum leaves caused by *Botrytis cinerea*

30 Capsicum seedlings of the variety "Neusiedler Ideal Elite" were, after 4 - 5 leaves had fully developed, sprayed to runoff point with an aqueous suspension in the active compound concentration given below. The next day, the treated plants were inoculated with a spore suspension of *Botrytis cinerea* comprising 1.7×10^6 spores/ml in a 2% aqueous Biomalz solution. The test plants were subsequently placed in a controlled-environment chamber at 22 to 24°C and high atmospheric humidity. After 5 days, the extent of fungal infection 35 on the leaves could be determined visually in %.

In this test, the plants treated with 250 ppm of the active compounds Nos. I-1, I-5 and I-7 showed up to 3% infection, while the untreated plants were 80% infected.

We claim:-

1. A method for the control of harmful phytopathogenic fungi, which comprises treating the fungi or the materials, plants, ground or seeds to be protected from fungal attack with an effective amount of a compound of the formula I



in which the substituents have the following meanings:

10 L is, independently of one another, halogen, C₁-C₆-alkyl, C₁-C₆-haloalkyl, C₁-C₆-alkoxy, amino, NHR or NR₂,

15 R is C₁-C₆-alkyl or C₁-C₆-alkylcarbonyl;

15 m is 1, 2, 3, 4 or 5;

15 X is halogen, cyano, C₁-C₄-alkyl, C₁-C₄-haloalkyl or C₁-C₄-alkoxy;

20 R¹ is C₁-C₃-alkyl or C₁-C₃-haloalkyl;

20 R² is hydrogen, C₁-C₃-alkyl or C₁-C₃-haloalkyl;

25 R³ is C₂-C₁₀-alkenyl, which can be unsubstituted or partially or completely halogenated or can carry one to three R^a groups;

25 R^a is halogen, cyano, nitro, hydroxyl, C₁-C₆-alkylcarbonyl, C₃-C₆-cycloalkyl, C₁-C₆-alkoxy, C₁-C₆-haloalkoxy, C₁-C₆-alkoxycarbonyl, C₁-C₆-alkylthio, C₁-C₆-alkylamino, di(C₁-C₆-alkyl)amino, C₂-C₆-alkenyl, C₂-C₆-alkenyloxy, C₃-C₆-alkynyloxy or C₃-C₆-cycloalkyl,

30 these aliphatic or alicyclic groups for their part being able to be partially or completely halogenated or to carry one to three R^b groups;

35 R^b is halogen, cyano, nitro, hydroxyl, mercapto, amino, carboxyl, aminocarbonyl, aminothiocarbonyl, alkyl, haloalkyl, alkenyl, alkenyloxy, alkynyloxy, alkoxy, haloalkoxy, alkylthio, alkylamino, dialkylamino, formyl, alkylcarbonyl, alkylsulfonyl, alkylsulfoxy,

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alkoxycarbonyl, alkylcarbonyloxy, alkylaminocarbonyl, dialkylaminocarbonyl, alkylaminothiocarbonyl or dialkylaminothiocarbonyl, the alkyl groups in these radicals comprising 1 to 6 carbon atoms and the abovementioned alkenyl or alkynyl groups in these radicals comprising 2 to 8 carbon atoms;

5

R^4 is hydrogen or C_1 - C_2 -alkyl,

10 R^3 and R^4 can also, together with the nitrogen atom to which they are bonded, form a five- or six-membered unsaturated ring which can carry one or more R^a substituents.

15 2. The 7-(alkenylamino)triazolopyrimidine of the formula I according to claim 1, with
the exclusion of compounds in which the ring formed by R3 and R4 together is a
dihydropyrrole.

3. The compound of the formula I according to claim 1, in which
R³ is C₂-C₁₀-alkenyl, which can be unsubstituted or partially or completely
halogenated or can carry one to three R^a groups:

20

R^a is halogen, cyano, nitro, hydroxyl, C₁-C₆-alkylcarbonyl, C₃-C₆-cycloalkyl, C₁-C₆-alkoxy, C₁-C₆-haloalkoxy, C₁-C₆-alkoxycarbonyl, C₁-C₆-alkylthio, C₁-C₆-alkylamino, di(C₁-C₆-alkyl)amino, C₂-C₆-alkenyl, C₂-C₆-alkenyloxy, C₃-C₆-alkynyoxy or C₃-C₆-cycloalkyl.

25

these aliphatic or alicyclic groups for their part being able to be partially or completely halogenated or to carry one to three R^b groups:

R^b is halogen, cyano, nitro, hydroxyl, mercapto, amino, carboxyl, aminocarbonyl, aminothiocarbonyl, alkyl, haloalkyl, alkenyl, alkenyloxy, alkynyoxy, alkoxy, haloalkoxy, alkylthio, alkylamino, dialkylamino, formyl, alkylcarbonyl, alkylsulfonyl, alkylsulfoxyl, alkoxy carbonyl, alkylcarbonyloxy, alkylaminocarbonyl, dialkylaminocarbonyl, alkylaminothiocarbonyl or dialkylaminothiocarbonyl, the alkyl groups in these radicals comprising 1 to 6 carbon atoms and the abovementioned alkenyl or alkynyl groups in these radicals comprising 2 to 8 carbon atoms;

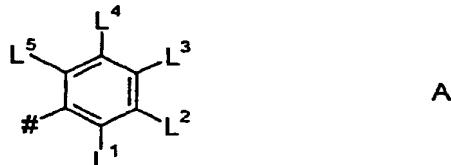
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4. The compound of the formula I according to either of claims 2 and 3, wherein X represents chlorine or methyl, in particular chlorine.

5. The compound of the formula I according to any of claims 2 to 4, wherein R¹ represents methyl or halomethyl.

6. The compound of the formula I according to any of claims 2 to 5, wherein R² represents hydrogen.

10 7. The compound of the formula I according to any of claims 2 to 6, wherein the phenyl group substituted by L_m is the group A



15 in which # is the point of linkage with the triazolopyrimidine skeleton and

L¹ represents fluorine, chlorine, CH₃ or CF₃;

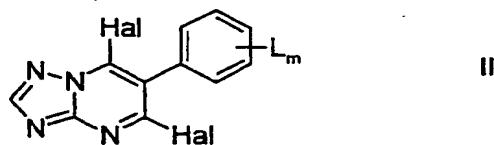
L² and L⁴ represent, independently of one another, hydrogen or fluorine;

20 L³ represents hydrogen, fluorine, chlorine, CH₃, OCH₃, amino, NHR or NR₂;
and

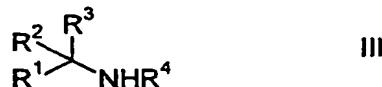
L⁵ represents hydrogen, fluorine or CH₃.

25 8. The compound of the formula I according to any of claims 2 to 7; wherein the phenyl group substituted by L_m is one of the following substituent combinations:
2-fluoro-6-chloro, 2,6-difluoro, 2,6-dichloro, 2-fluoro-6-methyl, 2,4,6-trifluoro,
2,6-difluoro-4-methoxy, pentafluoro, 2-methyl-4-fluoro, 2-trifluoromethyl, 2-
methoxy-6-fluoro, 2-chloro, 2-fluoro, 2,4-difluoro, 2-fluoro-4-chloro, 2-chloro-4-
fluoro, 2,3-difluoro, 2,5-difluoro, 2,3,4-trifluoro, 2-methyl, 2,4-dimethyl, 2-methyl-
4-chloro, 2-fluoro-4-methyl, 2,6-dimethyl, 2,4,6-trimethyl, 2,6-difluoro-4-methyl,
30 2-trifluoromethyl-4-fluoro, 2-trifluoromethyl-5-fluoro or 2-trifluoromethyl-5-chloro.

9. A process for the preparation of the compound of the formula I according to
35 claim 2 by reaction of dihalotriazolopyrimidines of the formula II,



in which the variables have the meanings given for formula I and Hal is a halogen atom, in particular chlorine, with amines of the formula III.



5

10. A composition suitable for the control of harmful fungi, comprising a solid or liquid carrier and a compound of the formula I according to claim 1.

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